

10/325,109

STN clam

5/29/01

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NEWS 4 JAN 16 IPC version 2007.01 thesaurus available on STN
NEWS 5 JAN 16 WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
NEWS 6 JAN 22 CA/Caplus updated with revised CAS roles
NEWS 7 JAN 22 CA/Caplus enhanced with patent applications from India
NEWS 8 JAN 29 PHAR reloaded with new search and display fields
NEWS 9 JAN 29 CAS Registry Number crossover limit increased to 300,000 in
multiple databases
NEWS 10 FEB 15 PATDPASPC enhanced with Drug Approval numbers
NEWS 11 FEB 15 RUSSIAPAT enhanced with pre-1994 records
NEWS 12 FEB 23 KOREAPAT enhanced with IPC 8 features and functionality
NEWS 13 FEB 26 MEDLINE reloaded with enhancements
NEWS 14 FEB 26 EMBASE enhanced with Clinical Trial Number field
NEWS 15 FEB 26 TOXCENTER enhanced with reloaded MEDLINE
NEWS 16 FEB 26 IFICDB/IFIPAT/IFIUDB reloaded with enhancements
NEWS 17 FEB 26 CAS Registry Number crossover limit increased from 10,000
to 300,000 in multiple databases
NEWS 18 MAR 15 WPIDS/WPIX enhanced with new FRAGHITSTR display format
NEWS 19 MAR 16 CASREACT coverage extended
NEWS 20 MAR 20 MARPAT now updated daily
NEWS 21 MAR 22 LWPI reloaded
NEWS 22 MAR 30 RDISCLOSURE reloaded with enhancements
NEWS 23 APR 02 JICST-EPLUS removed from database clusters and STN
NEWS 24 APR 30 GENBANK reloaded and enhanced with Genome Project ID field
NEWS 25 APR 30 CHEMCATS enhanced with 1.2 million new records
NEWS 26 APR 30 CA/Caplus enhanced with 1870-1889 U.S. patent records
NEWS 27 APR 30 INPADOC replaced by INPADOCDB on STN
NEWS 28 MAY 01 New CAS web site launched
NEWS 29 MAY 08 CA/Caplus Indian patent publication number format defined
NEWS 30 MAY 14 RDISCLOSURE on STN Easy enhanced with new search and display
fields
NEWS 31 MAY 21 BIOSIS reloaded and enhanced with archival data
NEWS 32 MAY 21 TOXCENTER enhanced with BIOSIS reload
NEWS 33 MAY 21 CA/Caplus enhanced with additional kind codes for German
patents
NEWS 34 MAY 22 CA/Caplus enhanced with IPC reclassification in Japanese
patents

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 10:00:25 ON 29 MAY 2007

=> FIL REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 10:00:33 ON 29 MAY 2007

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STRUCTURE FILE UPDATES: 28 MAY 2007 HIGHEST RN 935999-19-2

DICTIONARY FILE UPDATES: 28 MAY 2007 HIGHEST RN 935999-19-2

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TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

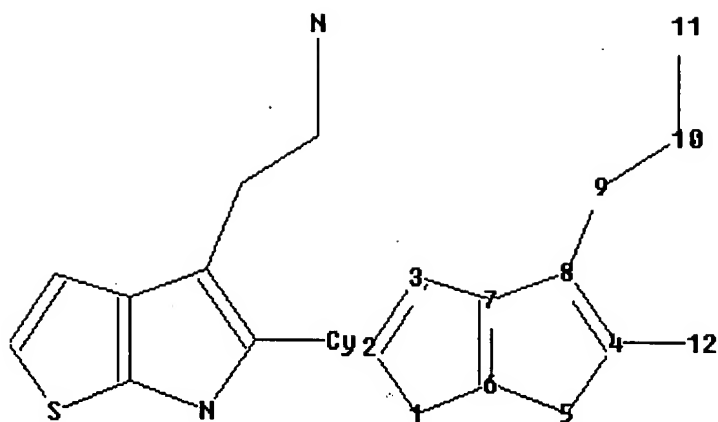
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

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*** YOU HAVE NEW MAIL ***

=>

Uploading C:\Program Files\Stnexp\Queries\10525109.str



```

chain nodes :
9 10 11 12
ring nodes :
1 2 3 4 5 6 7 8
chain bonds :
4-12 8-9 9-10 10-11
ring bonds :
1-2 1-6 2-3 3-7 4-5 4-8 5-6 6-7 7-8
exact/norm bonds :
1-2 1-6 2-3 3-7 4-5 4-8 4-12 5-6 6-7 7-8 10-11
exact bonds :
8-9 9-10
isolated ring systems :
containing 1 :

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Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:CLASS 10:CLASS
11:CLASS 12:Atom

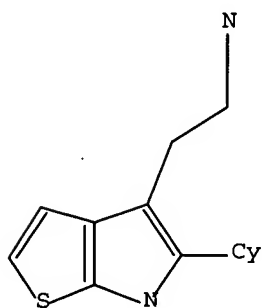
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L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 10:00:53 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 39 TO ITERATE

100.0% PROCESSED 39 ITERATIONS

3 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 406 TO 1154

PROJECTED ANSWERS: 3 TO 163

L2 3 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 10:00:59 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 738 TO ITERATE

100.0% PROCESSED 738 ITERATIONS

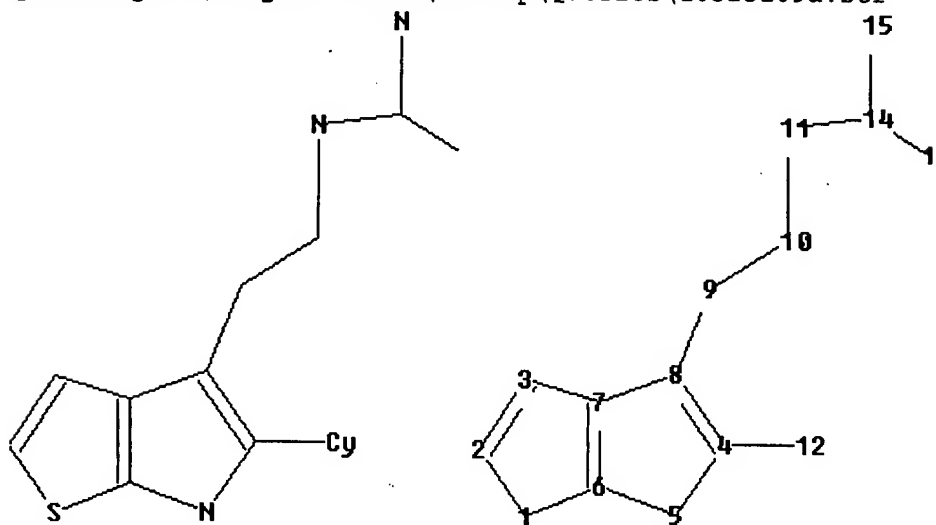
SEARCH TIME: 00.00.01

82 ANSWERS

L3 82 SEA SSS FUL L1

=>

Uploading C:\Program Files\Stnexp\Queries\10525109a.str



chain nodes :

9 10 11 12 14 15 16

ring nodes :

1 2 3 4 5 6 7 8

chain bonds :

4-12 8-9 9-10 10-11 11-14 14-15 14-16

ring bonds :

1-2 1-6 2-3 3-7 4-5 4-8 5-6 6-7 7-8

exact/norm bonds :

1-2 1-6 2-3 3-7 4-5 4-8 4-12 5-6 6-7 7-8 10-11 11-14 14-15

exact bonds :

8-9 9-10 14-16

isolated ring systems :

containing 1 :

Match level :

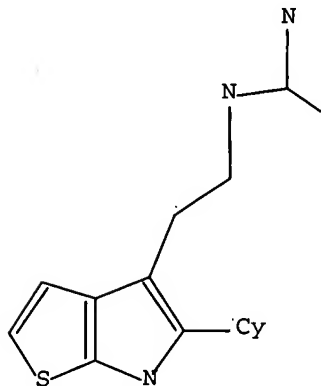
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:CLASS 10:CLASS
11:CLASS 12:Atom 14:CLASS 15:CLASS 16:CLASS

L4 STRUCTURE UPLOADED

=> d l4

L4 HAS NO ANSWERS

L4 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l4

SAMPLE SEARCH INITIATED 10:03:10 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 0 TO 0

PROJECTED ANSWERS: 0 TO 0

L5 0 SEA SSS SAM L4

=> s l4 sss full

FULL SEARCH INITIATED 10:03:17 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 2 TO ITERATE

100.0% PROCESSED 2 ITERATIONS

SEARCH TIME: 00.00.01

0 ANSWERS

L6 0 SEA SSS FUL L4

=> FIL CAPLUS

COST IN U.S. DOLLARS

SINCE FILE
ENTRY

TOTAL
SESSION

FULL ESTIMATED COST

345.55

345.76

FILE 'CAPLUS' ENTERED AT 10:03:24 ON 29 MAY 2007
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FILE COVERS 1907 - 29 May 2007 VOL 146 ISS 23
FILE LAST UPDATED: 28 May 2007 (20070528/ED)

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(FILE 'HOME' ENTERED AT 10:00:25 ON 29 MAY 2007)

FILE 'REGISTRY' ENTERED AT 10:00:33 ON 29 MAY 2007

L1	STRUCTURE UPLOADED
L2	3 S L1
L3	82 S L1 SSS FULL
L4	STRUCTURE UPLOADED
L5	0 S L4
L6	0 S L4 SSS FULL

FILE 'CAPLUS' ENTERED AT 10:03:24 ON 29 MAY 2007

=> s 13

L7 ~~4 L3~~

=> d 17 ibib abs hit stra tot
'STRA' IS NOT A VALID FORMAT FOR FILE 'CAPLUS'

The following are valid formats:

ABS	-----	GI and AB
ALL	-----	BIB, AB, IND, RE
APPS	-----	AI, PRAI
BIB	-----	AN, plus Bibliographic Data and PI table (default)
CAN	-----	List of CA abstract numbers without answer numbers
CBIB	-----	AN, plus Compressed Bibliographic Data
CLASS	-----	IPC, NCL, ECLA, FTERM
DALL	-----	ALL, delimited (end of each field identified)
DMAX	-----	MAX, delimited for post-processing
FAM	-----	AN, PI and PRAI in table, plus Patent Family data
FBIB	-----	AN, BIB, plus Patent FAM
IND	-----	Indexing data

IPC ----- International Patent Classifications
 MAX ----- ALL, plus Patent FAM, RE
 PATS ----- PI, SO
 SAM ----- CC, SX, TI, ST, IT
 SCAN ----- CC, SX, TI, ST, IT (random display, no answer numbers;
 SCAN must be entered on the same line as the DISPLAY,
 e.g., D SCAN or DISPLAY SCAN)
 STD ----- BIB, CLASS

 IABS ----- ABS, indented with text labels
 IALL ----- ALL, indented with text labels
 IBIB ----- BIB, indented with text labels
 IMAX ----- MAX, indented with text labels
 ISTD ----- STD, indented with text labels

 OBIB ----- AN, plus Bibliographic Data (original)
 OIBIB ----- OBIB, indented with text labels

 SBIB ----- BIB, no citations
 SIBIB ----- IBIB, no citations

 HIT ----- Fields containing hit terms
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 containing hit terms
 HITRN ----- HIT RN and its text modification
 HITSTR ----- HIT RN, its text modification, its CA index name, and
 its structure diagram
 HITSEQ ----- HIT RN, its text modification, its CA index name, its
 structure diagram, plus NTE and SEQ fields
 FHITSTR ----- First HIT RN, its text modification, its CA index name, and
 its structure diagram
 FHITSEQ ----- First HIT RN, its text modification, its CA index name, its
 structure diagram, plus NTE and SEQ fields
 KWIC ----- Hit term plus 20 words on either side
 OCC ----- Number of occurrence of hit term and field in which it occurs

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=> d 17 ibib abs hitstr

L7 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2005:962266 CAPLUS Full-text
 DOCUMENT NUMBER: 143:266908
 TITLE: Preparation of substituted thieno[2,3-b]pyrroles as
 antagonists of GnRH
 INVENTOR(S): Arnould, Jean-Claude; Harris, Craig Steven; Jones,
 Paul
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
 SOURCE: PCT Int. Appl., 98, pp.
 CODEN: PIXXD2

Inventor

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005080402	A1	20050901	WO 2005-GB568	20050217
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1730155	A1	20061213	EP 2005-708374	20050217
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 1942479	A	20070404	CN 2005-80011860	20050217
IN 2006DN04892	A	20070427	IN 2006-DN4892	20060824
PRIORITY APPLN. INFO.:			EP 2004-290466	A 20040220
			WO 2005-GB568	W 20050217
OTHER SOURCE(S):		MARPAT 143:266908		
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = H, alkyl, aryl, etc.; R2 = H, alkyl, etc.; R3 = alkyl, alkylamino, etc.; R4 = H, alkyl, halo; R5 = alkylcarboxamido, carboxamido, acyl, etc.] are prepared For instance, II is prepared in 3 steps from III, 3-benzhydrylazetidn-3-carboxylic acid and 2-formylthiophene. Compds. of the invention have GnRH activity at a concentration of 1 nM to 5 µM. I are useful for treating a sex hormone related condition.

IT 863599-44-4P 863599-45-5P 863599-46-6P
 863599-47-7P 863599-48-8P 863599-49-9P
 863599-50-2P 863599-51-3P 863599-52-4P
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 863599-56-8P 863599-57-9P

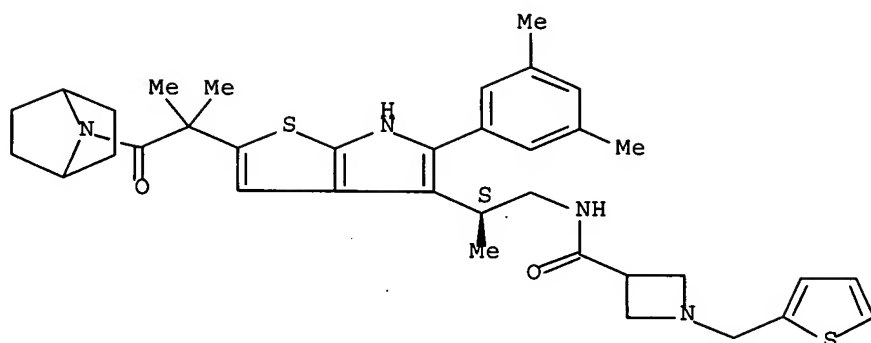
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted thieno[2,3-b]pyrroles as antagonists of GnRH)

RN 863599-44-4 CAPLUS

CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-(2-thienylmethyl)- (9CI) (CA INDEX NAME)

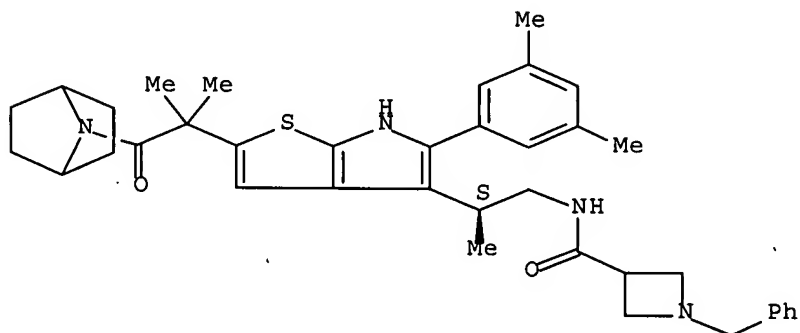
Absolute stereochemistry.



RN 863599-45-5 CAPLUS

CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

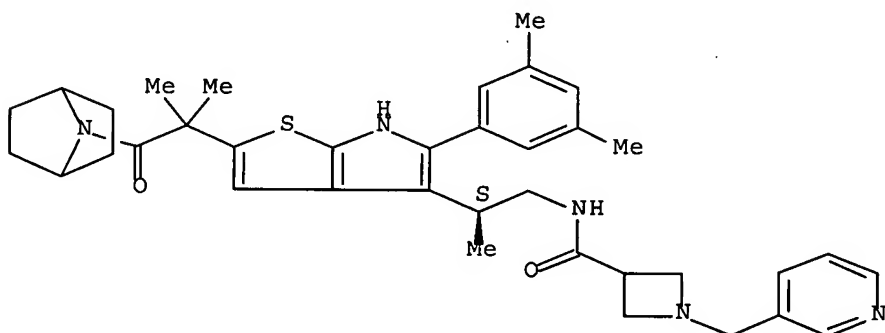
Absolute stereochemistry.



RN 863599-46-6 CAPLUS

CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

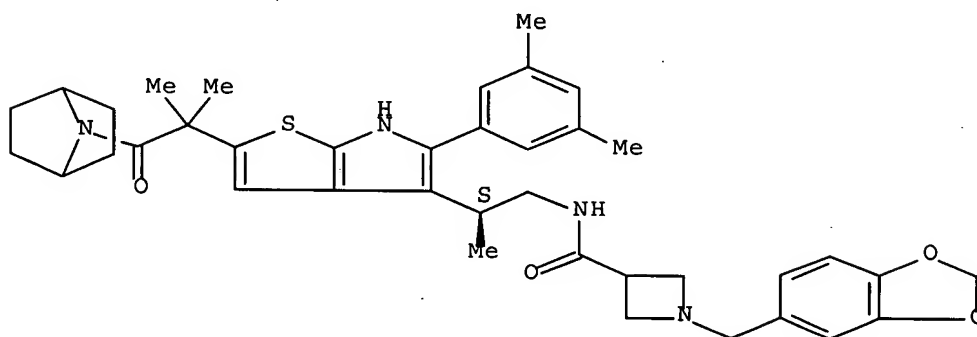


RN 863599-47-7 CAPLUS

CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-

dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-(1,3-benzodioxol-5-ylmethyl)- (9CI) (CA INDEX NAME)

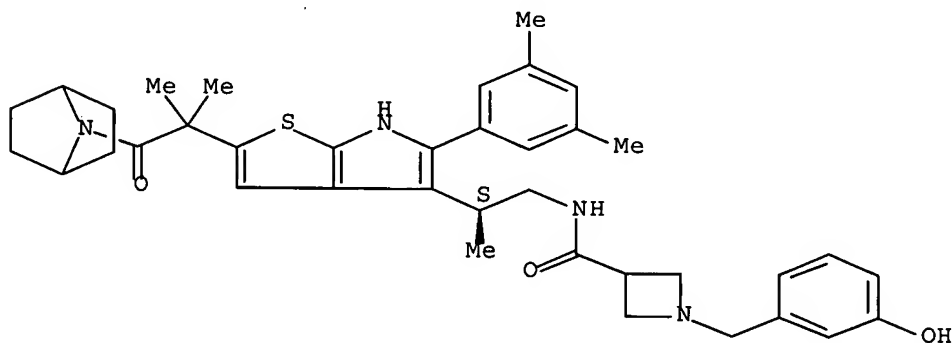
Absolute stereochemistry.



RN 863599-48-8 CAPLUS

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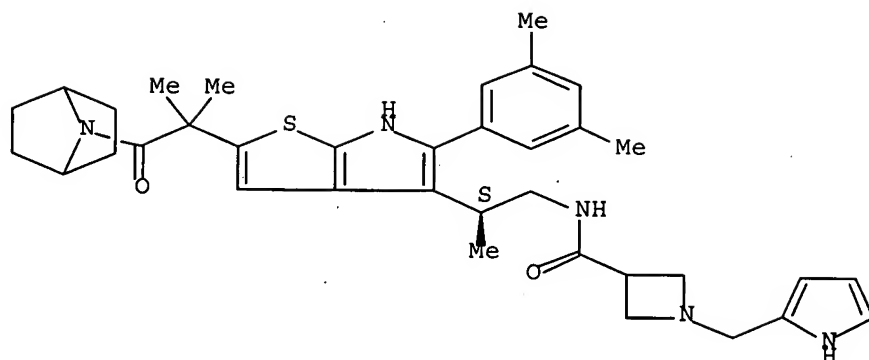
Absolute stereochemistry.



RN 863599-49-9 CAPLUS

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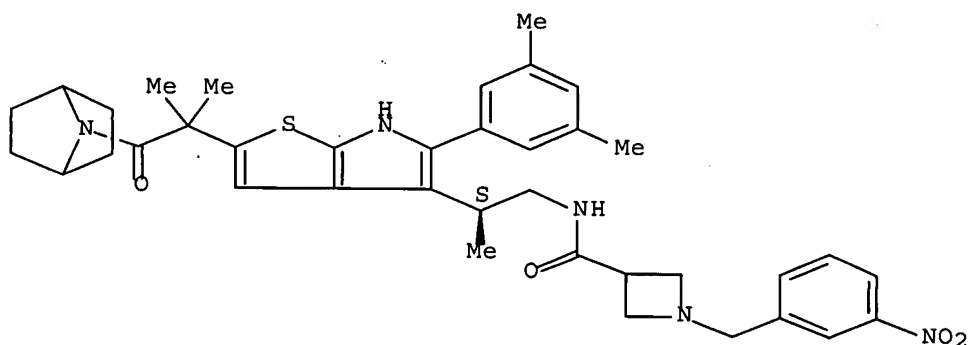
Absolute stereochemistry.



RN 863599-50-2 CAPLUS

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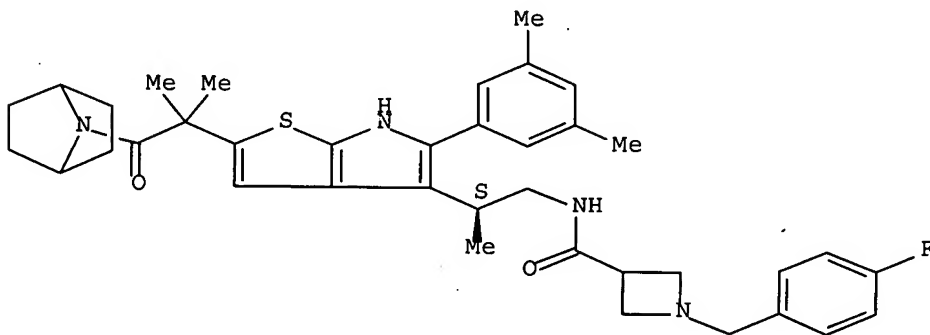
Absolute stereochemistry.



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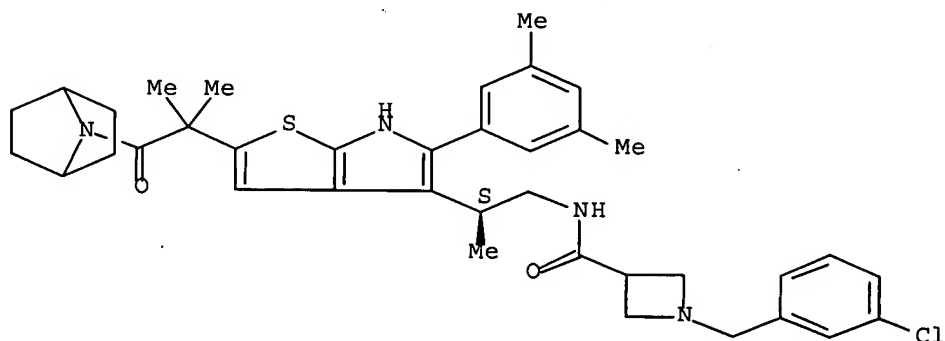
Absolute stereochemistry.



RN 863599-52-4 CAPLUS

CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-[(3-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)

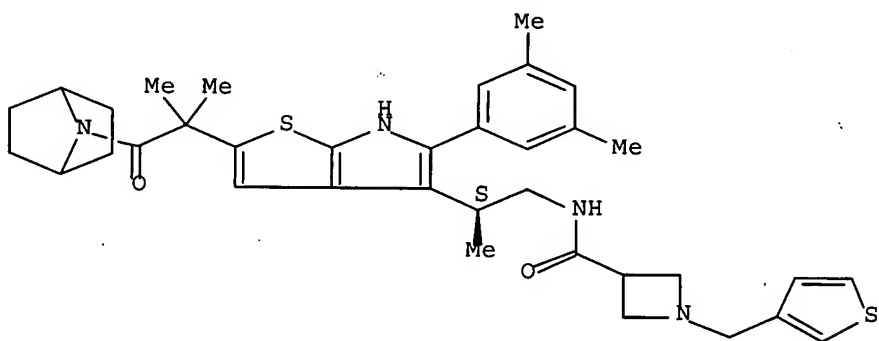
Absolute stereochemistry.



RN 863599-53-5 CAPLUS

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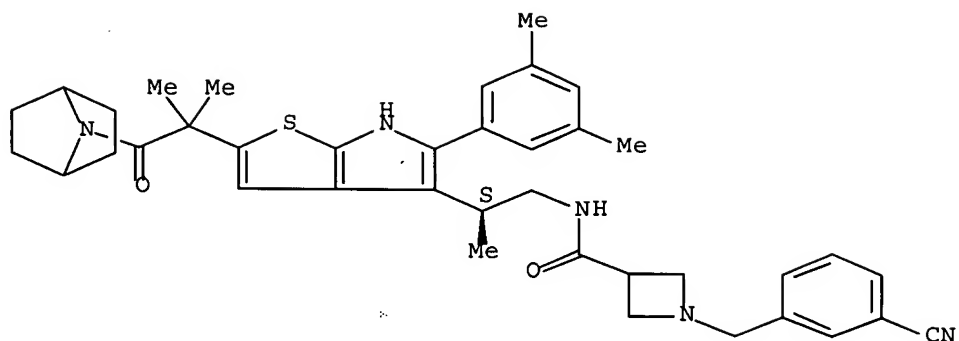
Absolute stereochemistry.



RN 863599-54-6 CAPLUS

CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-[(3-cyanophenyl)methyl]- (9CI) (CA INDEX NAME)

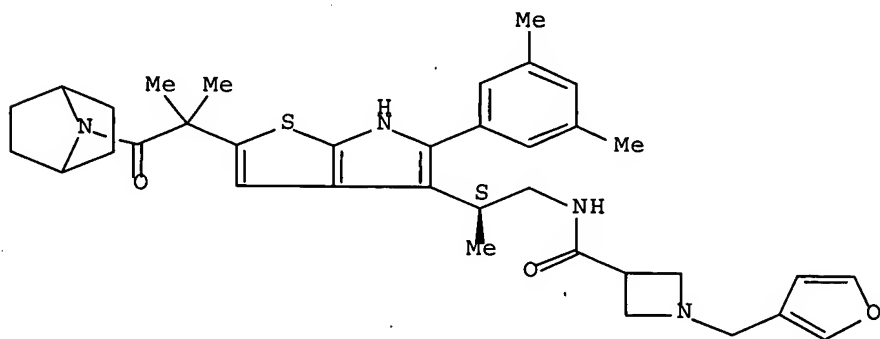
Absolute stereochemistry.



RN 863599-55-7 CAPLUS

CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-(3-furanylmethyl)- (9CI) (CA INDEX NAME)

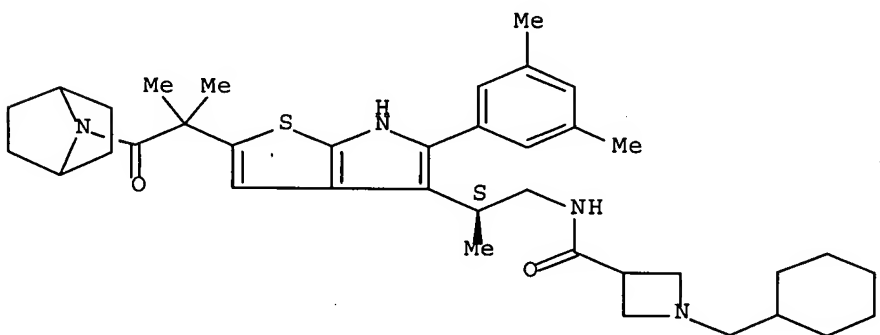
Absolute stereochemistry.



RN 863599-56-8 CAPLUS

CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-(cyclohexylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

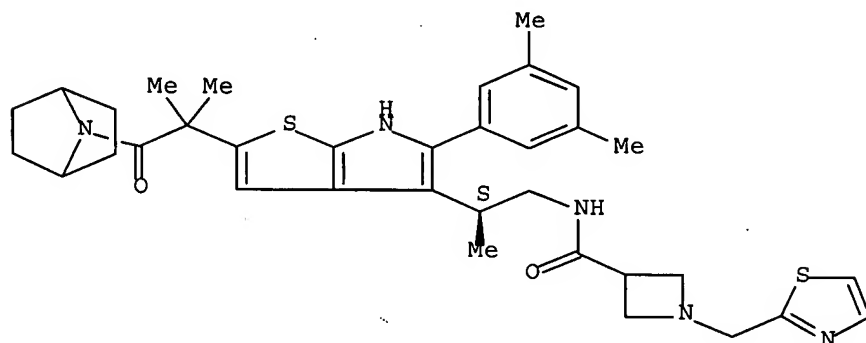


RN 863599-57-9 CAPLUS

CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-

dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-(2-thiazolylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 667940-67-2

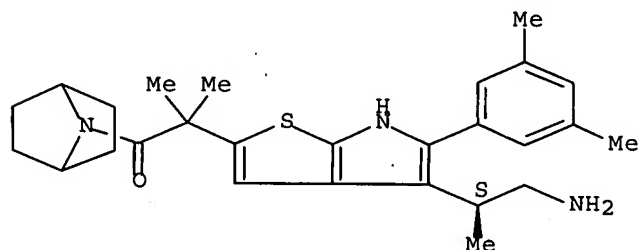
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of substituted thieno[2,3-b]pyrroles as antagonists of GnRH)

RN 667940-67-2 CAPLUS

CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[4-[(1S)-2-amino-1-methylethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 863599-75-1P 863599-76-2P

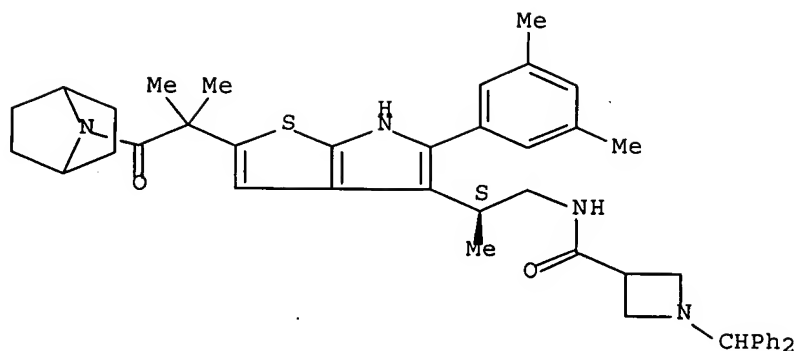
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted thieno[2,3-b]pyrroles as antagonists of GnRH)

RN 863599-75-1 CAPLUS

CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-(diphenylmethyl)- (9CI) (CA INDEX NAME)

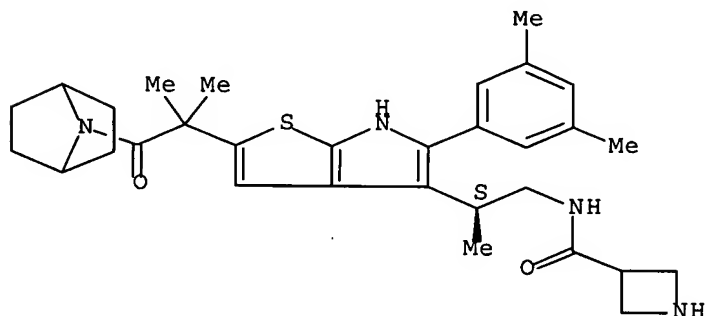
Absolute stereochemistry.



RN 863599-76-2 CAPLUS

CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d 17 ibib abs hitstr tot

L7 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2005:962266 CAPLUS Full-text
 DOCUMENT NUMBER: 143:266908
 TITLE: Preparation of substituted thieno[2,3-b]pyrroles as antagonists of GnRH
 INVENTOR(S): Arnould, Jean-Claude; Harris, Craig Steven; Jones, Paul
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
 SOURCE: PCT Int. Appl., 98 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005080402	A1	20050901	WO 2005-GB568	20050217
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,				

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1730155 A1 20061213 EP 2005-708374 20050217

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR

CN 1942479 A 20070404 CN 2005-80011860 20050217

IN 2006DN04892 A 20070427 IN 2006-DN4892 20060824

PRIORITY APPLN. INFO.: EP 2004-290466 A 20040220

WO 2005-GB568 W 20050217

OTHER SOURCE(S): MARPAT 143:266908

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = H, alkyl, aryl, etc.; R2 = H, alkyl, etc.; R3 = alkyl, alkylamino, etc.; R4 = H, alkyl, halo; R5 = alkylcarboxamido, carboxamido, acyl, etc.] are prepared For instance, II is prepared in 3 steps from III, 3-benzhydrylazetididin-3-carboxylic acid and 2-formylthiophene. Compds. of the invention have GnRH activity at a concentration of 1 nM to 5 μ M. I are useful for treating a sex hormone related condition.

IT 863599-44-4P 863599-45-5P 863599-46-6P
 863599-47-7P 863599-48-8P 863599-49-9P
 863599-50-2P 863599-51-3P 863599-52-4P
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 863599-56-8P 863599-57-9P

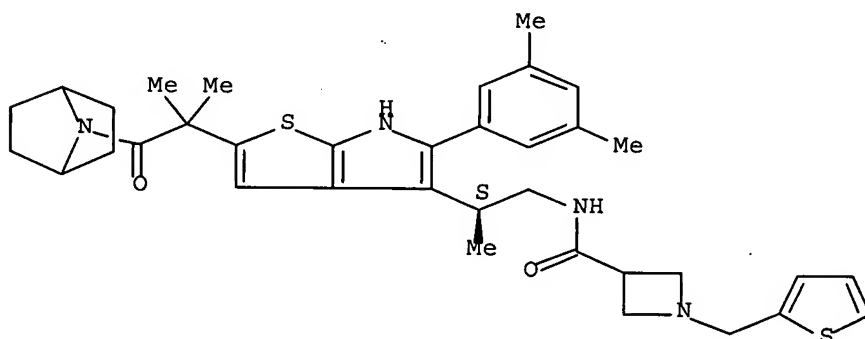
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted thieno[2,3-b]pyrroles as antagonists of GnRH)

RN 863599-44-4 CAPLUS

CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-(2-thienylmethyl)- (9CI) (CA INDEX NAME)

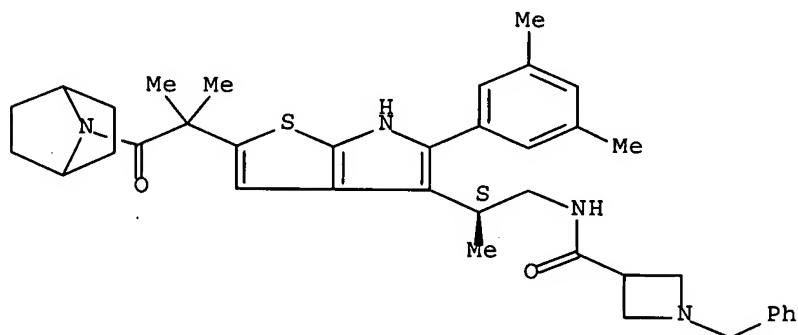
Absolute stereochemistry.



RN 863599-45-5 CAPLUS

CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

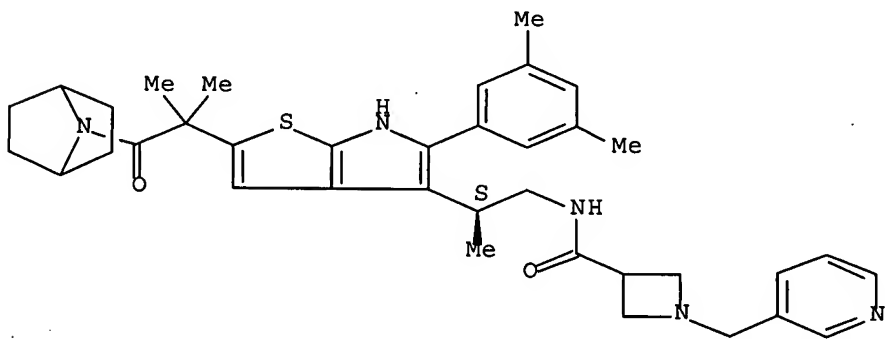
Absolute stereochemistry.



RN 863599-46-6 CAPLUS

CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

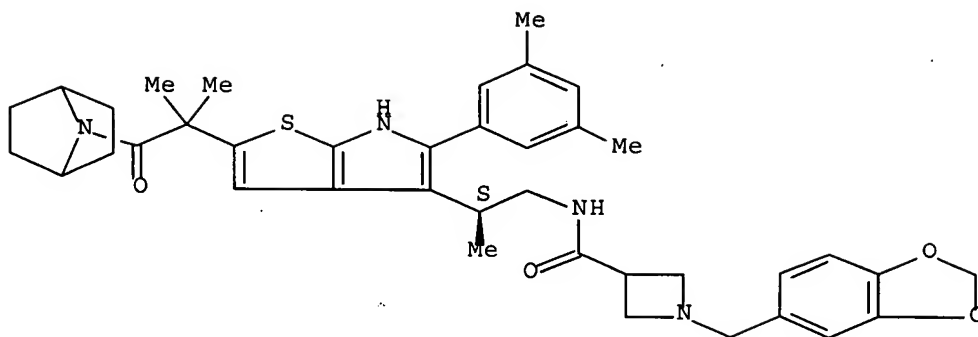
Absolute stereochemistry.



RN 863599-47-7 CAPLUS

CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-(1,3-benzodioxol-5-ylmethyl)- (9CI) (CA INDEX NAME)

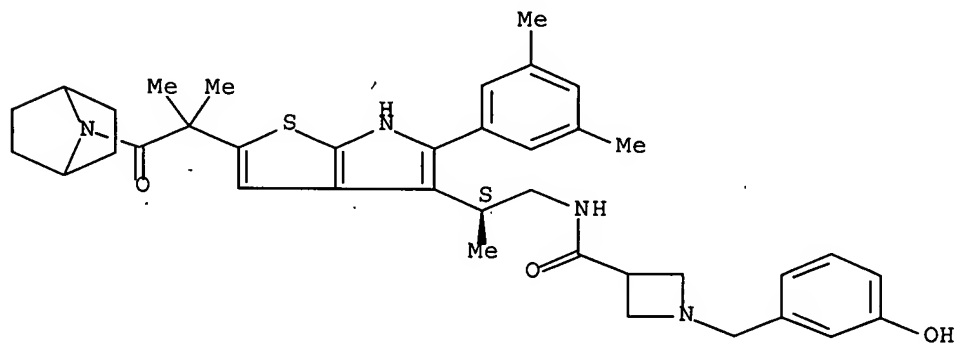
Absolute stereochemistry.



RN 863599-48-8 CAPLUS

CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-[(3-hydroxyphenyl)methyl]- (9CI) (CA INDEX NAME)

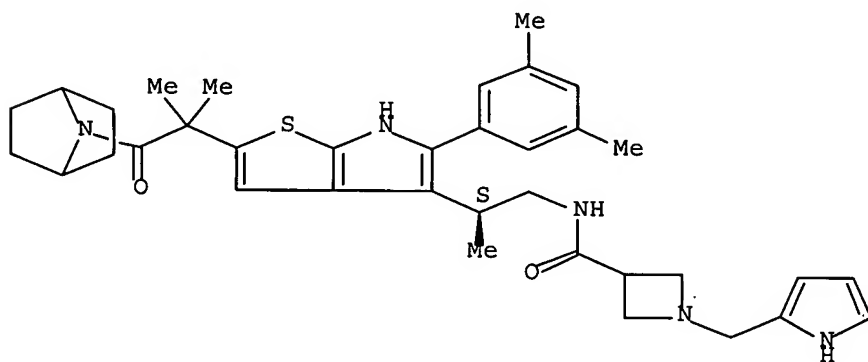
Absolute stereochemistry.



RN 863599-49-9 CAPLUS

CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-(1H-pyrrol-2-ylmethyl)- (9CI) (CA INDEX NAME)

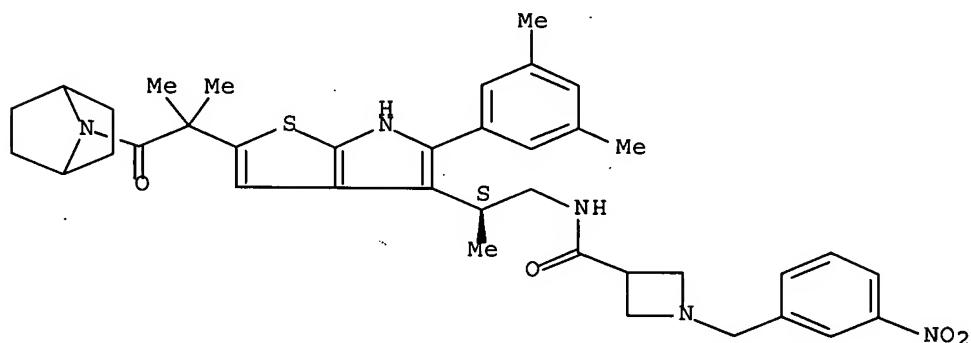
Absolute stereochemistry.



RN 863599-50-2 CAPLUS

CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-[(3-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)

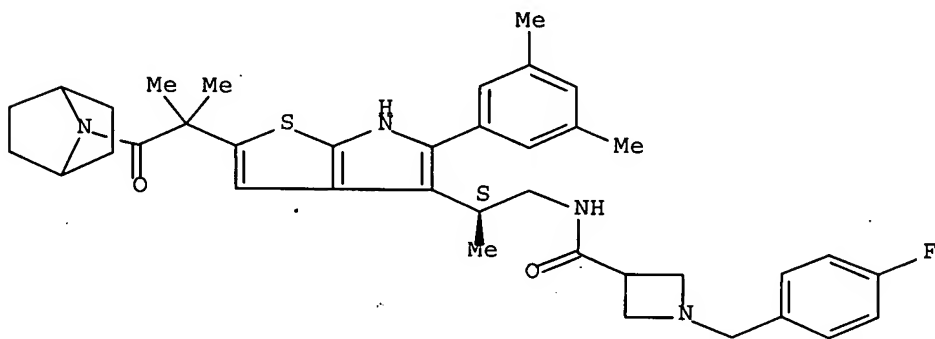
Absolute stereochemistry.



RN 863599-51-3 CAPLUS

CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)

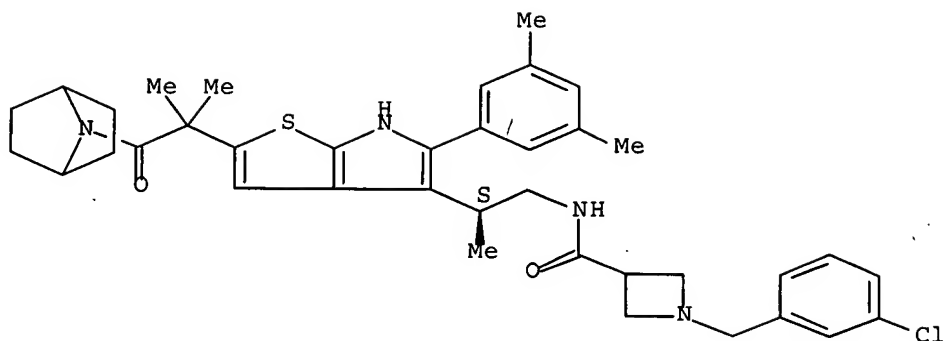
Absolute stereochemistry.



RN 863599-52-4 CAPLUS

CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-[(3-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)

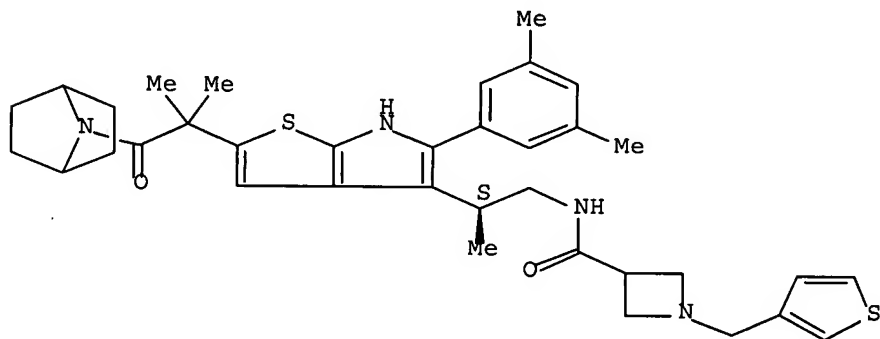
Absolute stereochemistry.



RN 863599-53-5 CAPLUS

CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-(3-thienylmethyl)- (9CI) (CA INDEX NAME)

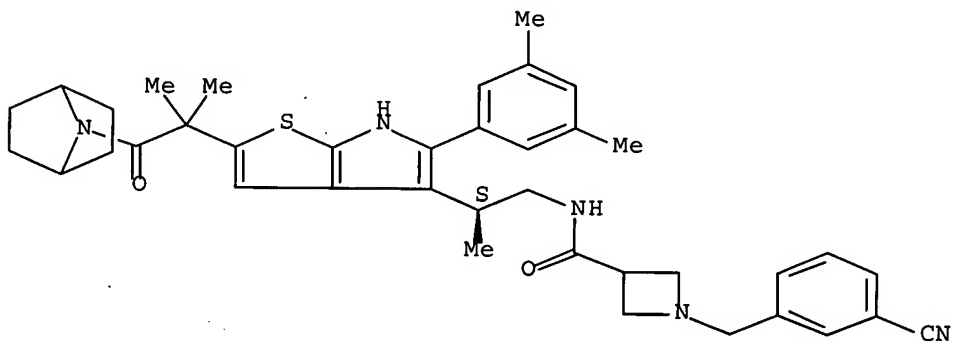
Absolute stereochemistry.



RN 863599-54-6 CAPLUS

CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-[(3-cyanophenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

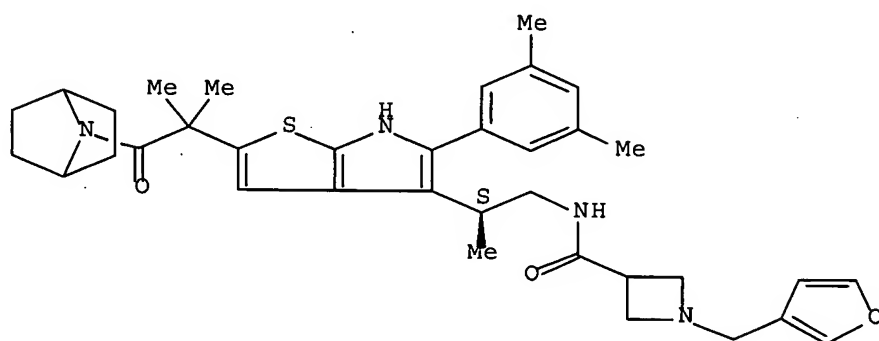


RN 863599-55-7 CAPLUS

CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-

dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-(3-furanylmethyl)- (9CI) (CA INDEX NAME)

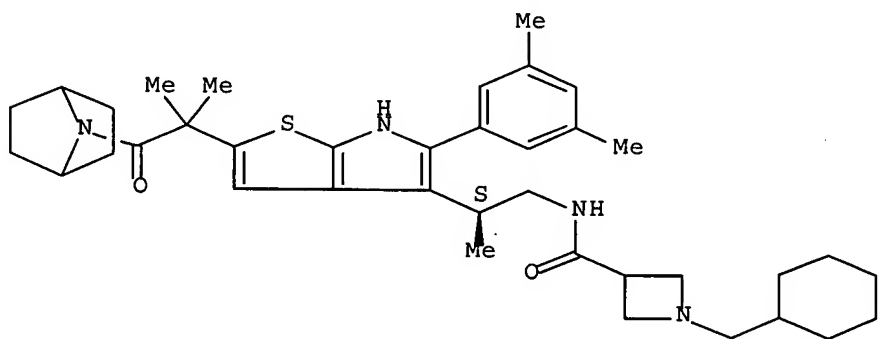
Absolute stereochemistry.



RN 863599-56-8 CAPLUS

CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-(cyclohexylmethyl)- (9CI) (CA INDEX NAME)

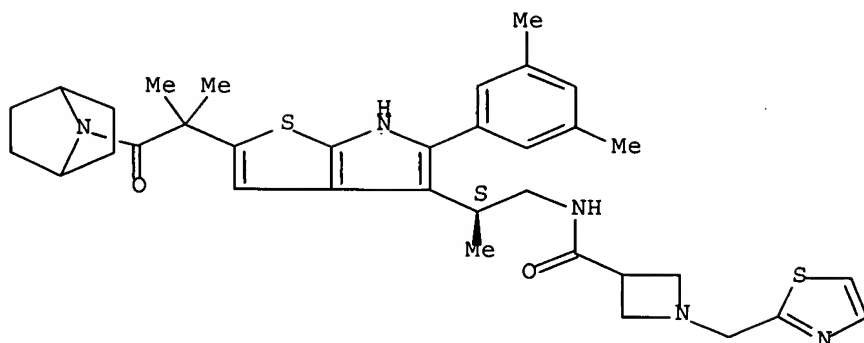
Absolute stereochemistry.



RN 863599-57-9 CAPLUS

CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-(2-thiazolylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 667940-67-2

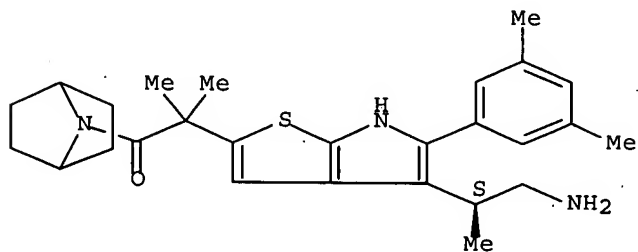
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of substituted thieno[2,3-b]pyrroles as antagonists of GnRH)

RN 667940-67-2 CAPLUS

CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[4-[(1S)-2-amino-1-methylethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



IT 863599-75-1P 863599-76-2P

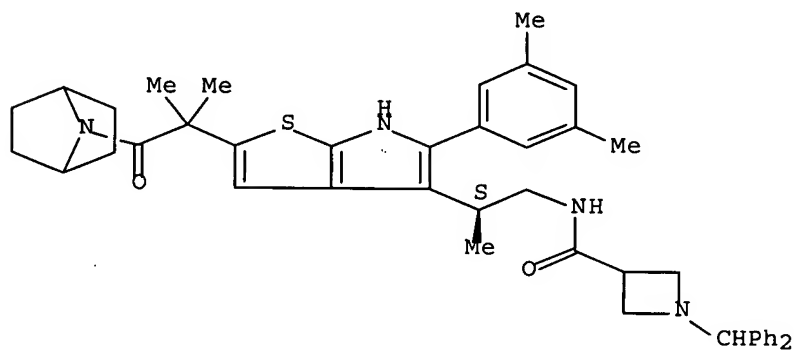
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted thieno[2,3-b]pyrroles as antagonists of GnRH)

RN 863599-75-1 CAPLUS

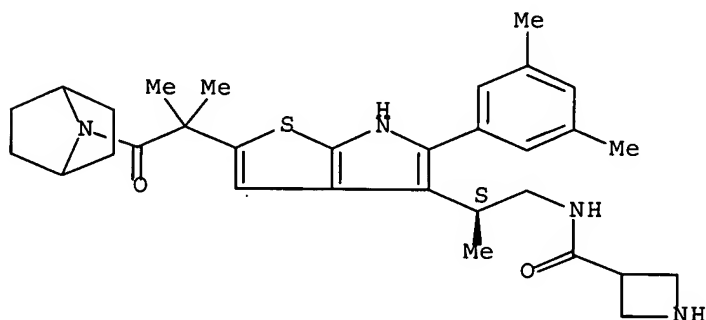
CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-(diphenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 863599-76-2 CAPLUS
 CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2005:962048 CAPLUS Full-text
 DOCUMENT NUMBER: 143:266813
 TITLE: Preparation of pyrroles as gonadotropin releasing hormone (gnrh) antagonists for treating sex-hormone related conditions
 INVENTOR(S): Harris, Craig Steven
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
 SOURCE: PCT Int. Appl., 108 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005079805	A1	20050901	WO 2005-GB560	20050217
W: AE, AG, AL, AM, AT, <u>AU, AZ</u> , BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, <u>CZ, DE, DK</u> , DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1729776	A1	20061213	EP 2005-708368	20050217
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 1942190	A	20070404	CN 2005-80011759	20050217
PRIORITY APPLN. INFO.:			EP 2004-290467	A 20040220

OTHER SOURCE(S):
GI

MARPAT 143:266813

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention is related to the use of pyrroles of formula (I) [R1 = H, (un)substituted aryl/alkyl, aryl; R2 = (un)substituted mono- or bicyclic ring; R3 = (un)substituted heterocyclylaminoalkyl, heterocyclylalkyl, heterocyclylcarbonylaminoalkyl, etc.; R4 = H, alkyl, halo; R5 = CONH2 and derivs., CHO and derivs., (un)substituted heterocyclyl, etc.; M = CH2CH2, CH:CH; and their salts, solvates, or prodrugs] in the manufacture of a medicament for antagonizing gonadotropin releasing hormone activity, for reducing the secretion of LH by the pituitary gland of a patient, and for treating and/or preventing a sex hormone related condition. The invention is also related to the preparation of pyrroles I. For example, cleavage of thienopyrrole II in EtOH with Raney-Ni under H2 gave pyrrole III in 52% yield. II was prepared via cyclocondensation of IV•HCl (preparation given) with 1-(3,5-dimethylphenyl)-4-hydroxy-1-butanone. In in vitro test assays, I have activity at a concentration from 1 nM to 5 µM.

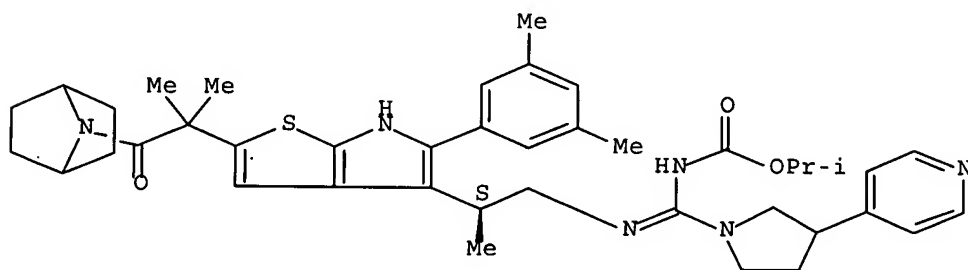
IT 667940-38-7P 667940-57-0P 667940-58-1P
667940-66-1P 667940-67-2P 863561-74-4P
863561-75-5P 863561-76-6P 863561-77-7P
863561-78-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of pyrroles as gonadotropin releasing hormone (gnrh) antagonists for treating sex-hormone related conditions)

RN. 667940-38-7 CAPLUS

CN Carbamic acid, [[[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]amino][3-(4-pyridinyl)-1-pyrrolidinyl]methylene]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

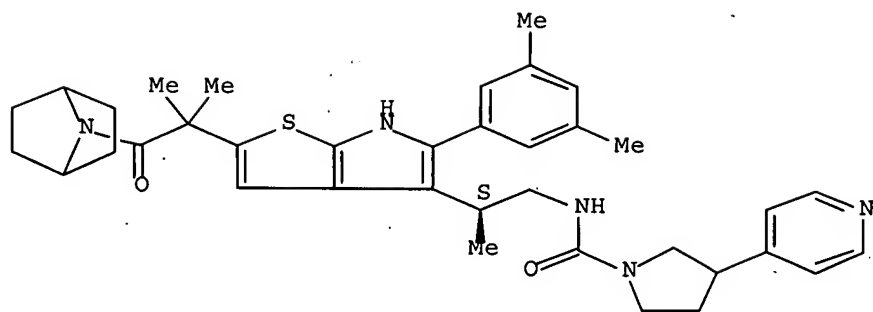
Absolute stereochemistry.



RN 667940-57-0 CAPLUS

CN 1-Pyrrolidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)

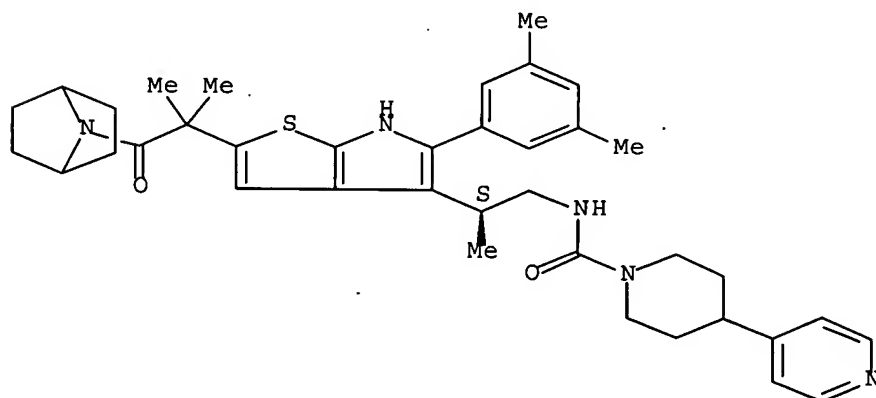
Absolute stereochemistry.



RN 667940-58-1 CAPLUS

CN 1-Piperidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-4-(4-pyridinyl)- (9CI) (CA INDEX NAME)

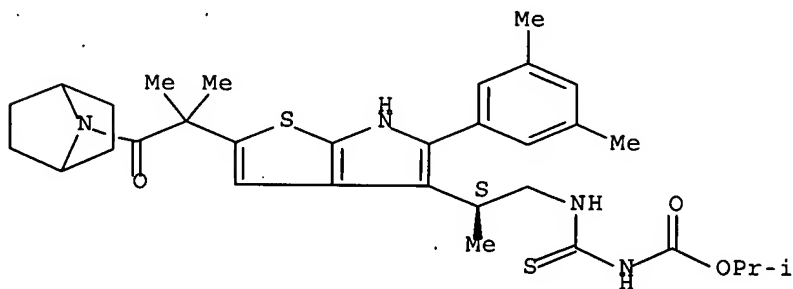
Absolute stereochemistry.



RN 667940-66-1 CAPLUS

CN Carbamic acid, [[[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]amino]thioxomethyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

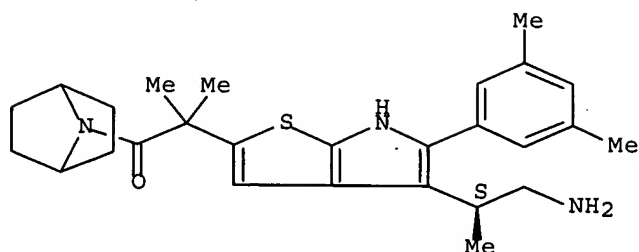


RN 667940-67-2 CAPLUS

CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[4-[(1S)-2-amino-1-methylethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI)

(CA INDEX NAME)

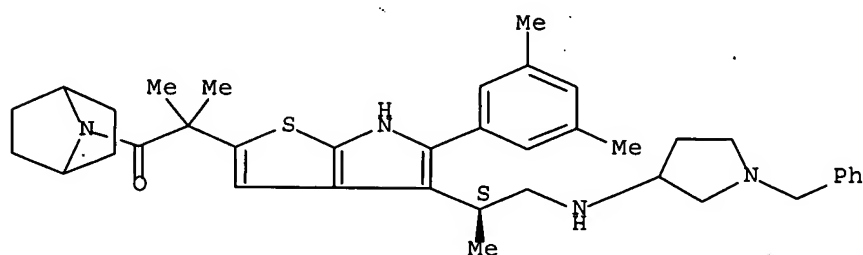
Absolute stereochemistry.



RN 863561-74-4 CAPLUS

CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[5-(3,5-dimethylphenyl)-4-[(1S)-1-methyl-2-[[1-(phenylmethyl)-3-pyrrolidinyl]amino]ethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

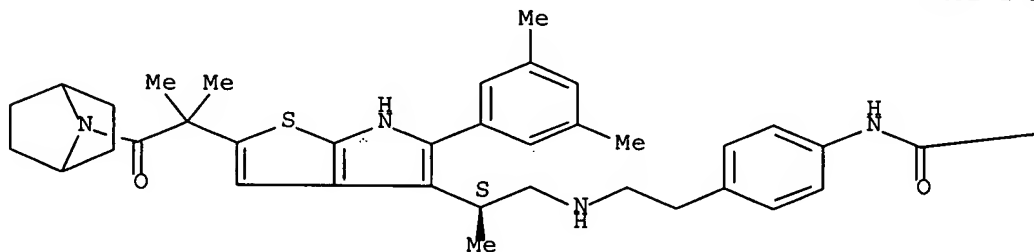


RN 863561-75-5 CAPLUS

CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[5-(3,5-dimethylphenyl)-4-[(1S)-1-methyl-2-[[2-[4-[[[(1-methylethyl)amino]carbonyl]amino]phenyl]ethyl]amino]ethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

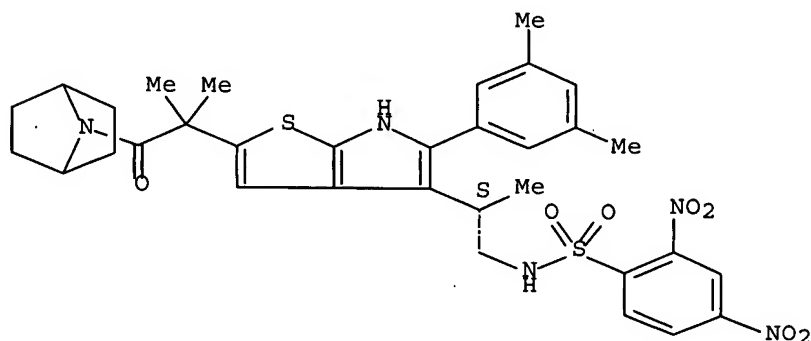


—NHPr-i

RN 863561-76-6 CAPLUS

CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[5-(3,5-dimethylphenyl)-4-[(1S)-2-[[2,4-dinitrophenyl)sulfonyl]amino]-1-methylethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

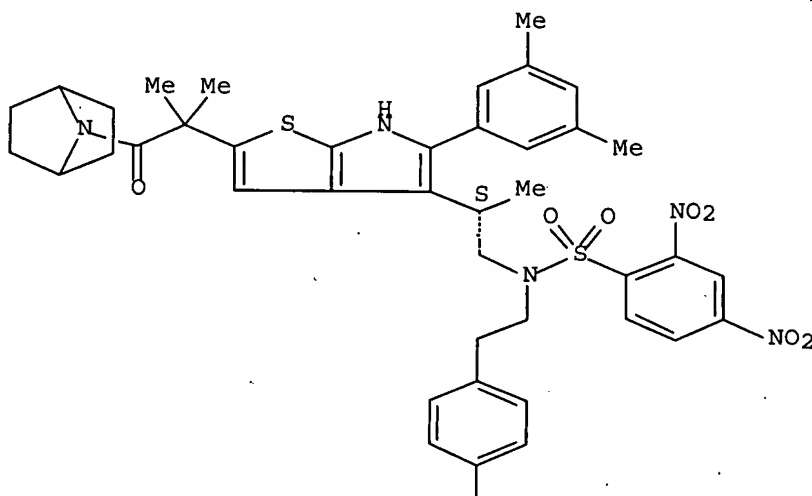


RN 863561-77-7 CAPLUS

CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[4-[(1S)-2-[[2-(4-aminophenyl)ethyl][(2,4-dinitrophenyl)sulfonyl]amino]-1-methylethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

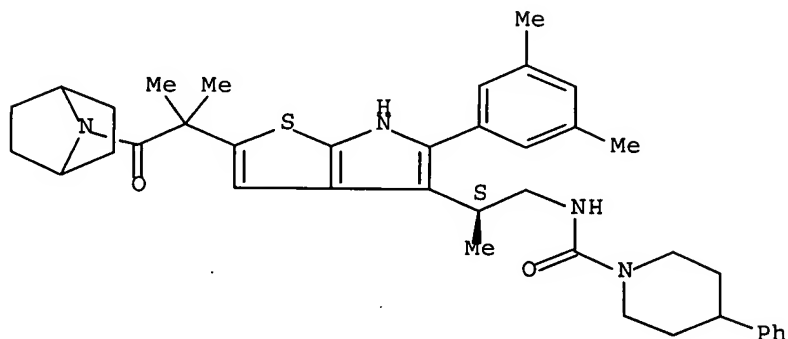


NH₂

RN 863561-78-8 CAPLUS

CN 1-Piperidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-4-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2004:182888 CAPLUS Full-text

DOCUMENT NUMBER: 140:235695

TITLE: Preparation of 6H-thieno[2,3-b]pyrrole derivatives as antagonists of gonadotropin-releasing hormone (GnRh) for treating sex hormone related conditions

INVENTOR(S): Foote, Kevin Michael; Matusiak, Zbigniew; Dossetter, Alexander Graham; Arnould, Jean Claude; Lamorlette, Maryannick Andree; Delouville, Benedicte; Hamon, Annie

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited

SOURCE: PCT Int. Appl., 215 pp.

CODEN: PIXXD2

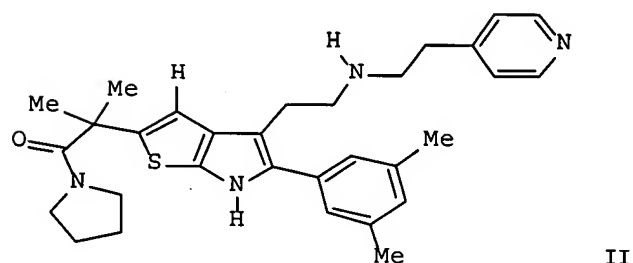
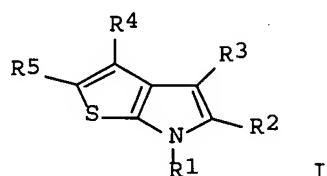
DOCUMENT TYPE: Patent
 LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018480	A1	20040302	WO 2003-GB3631	20030819
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,				

BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 AU 2003255818 A1 20040311 AU 2003-255818 20030819
 EP 1543012 A1 20050622 EP 2003-792485 20030819
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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 JP 2006501232 T 20060112 JP 2004-530360 20030819
 US 2006004082 A1 20060105 US 2005-524978 20050218
 US 7132442 B2 20061107
 US 2006235067 A1 20061019 US 2006-380961 20060501
 PRIORITY APPLN. INFO.: EP 2002-292074 A 20020821
 WO 2003-GB3631 W 20030819
 US 2005-524978 A3 20050218
 OTHER SOURCE(S): MARPAT 140:235695
 GI



AB Title compds. I [R1 = H, (un)substituted-alkyl, -alkanoyl, -aryl, or -arylalkyl; R2 = (un)substituted mono or bicyclic aromatic ring; R3 = arylalkylaminoalkyl, arylheterocyclalkyl, heterocyclheterocyclalkyl, etc.; R4 = H, (un)substituted-alkyl, -aryl, CN, halo, etc.; R5 = heterocyclcarbonylalkyl, halo, H, etc.] and their pharmaceutically acceptable salts are prepared and disclosed as gonadotropin releasing hormone antagonists. Thus, e.g., II, was prepared in a multistep synthesis from Et thiophen-2-ylacetate. In test assays, I possessed activity at concns. from 1nM to 5 μ M.

IT 666850-12-0P 666850-13-1P 666850-14-2P
 666850-15-3P 666850-16-4P 666850-17-5P
 666850-18-6P 666850-19-7P 666850-20-0P
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 666850-24-4P

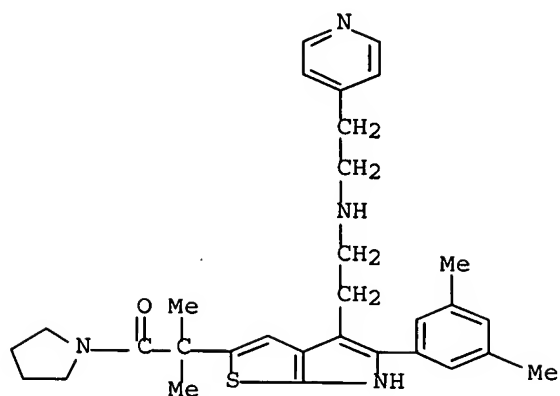
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of thienopyrroles as antagonists of gonadotropin releasing hormone)

RN 666850-12-0 CAPLUS

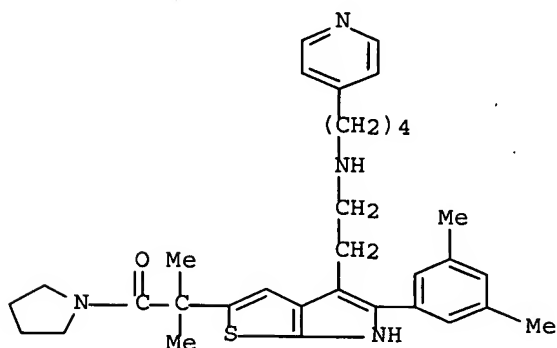
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oxopropyl]- (9CI) (CA INDEX NAME)



RN 666850-13-1 CAPLUS

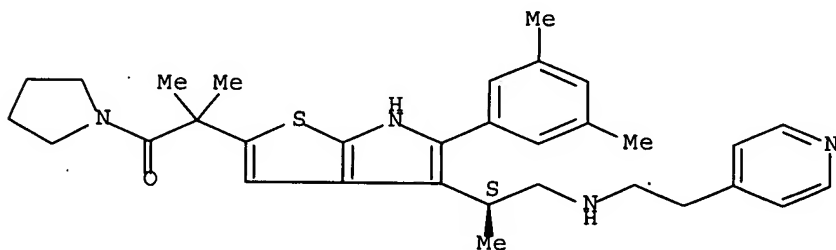
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RN 666850-14-2 CAPLUS

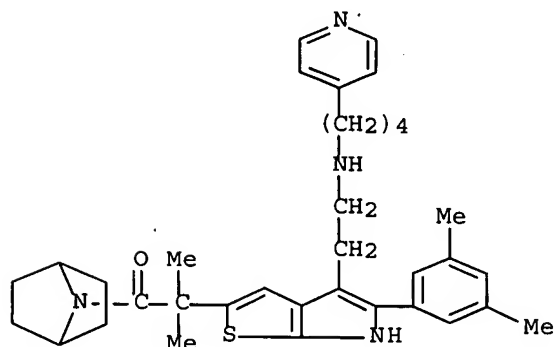
CN Pyrrolidine, 1-[2-[5-(3,5-dimethylphenyl)-4-[(1S)-1-methyl-2-[[2-(4-pyridinyl)ethyl]amino]ethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



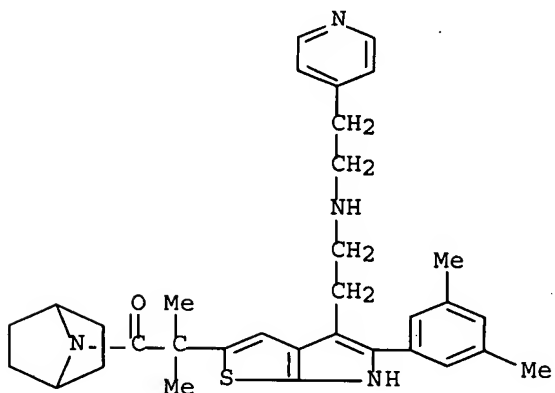
RN 666850-15-3 CAPLUS

CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[5-(3,5-dimethylphenyl)-4-[2-[[4-(4-pyridinyl)butyl]amino]ethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)



RN 666850-16-4 CAPLUS

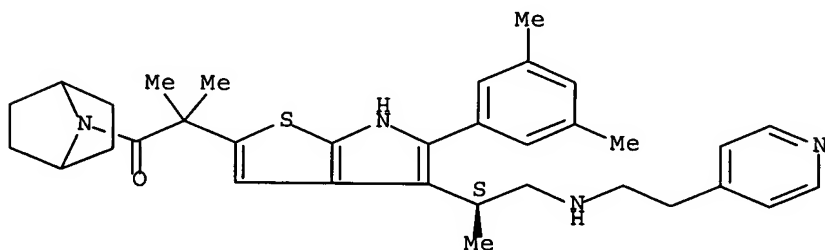
CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[5-(3,5-dimethylphenyl)-4-[2-[[2-(4-pyridinyl)ethyl]amino]ethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)



RN 666850-17-5 CAPLUS

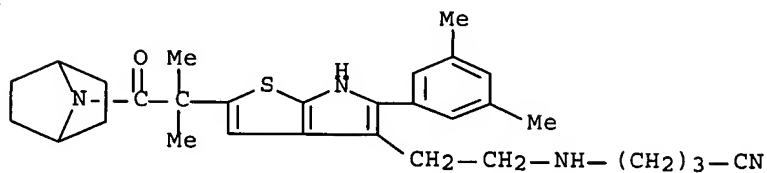
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Absolute stereochemistry.



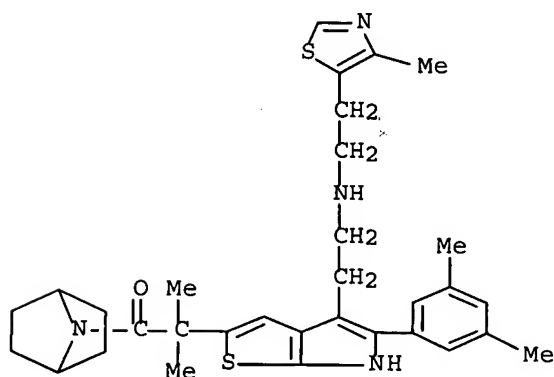
RN 666850-18-6 CAPLUS

CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[4-[2-[(3-cyanopropyl)amino]ethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI)
(CA INDEX NAME)



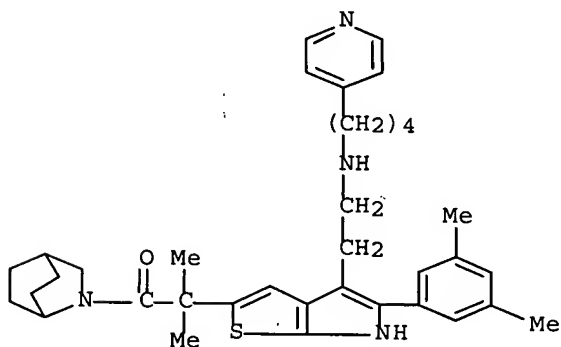
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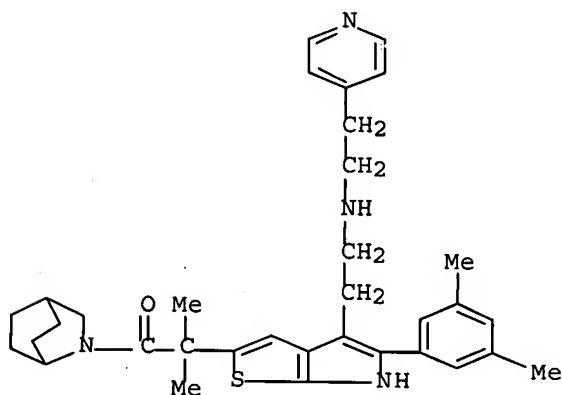
RN 666850-20-0 CAPLUS

CN 2-Azabicyclo[2.2.2]octane, 2-[2-[5-(3,5-dimethylphenyl)-4-[2-[[4-(4-pyridinyl)butyl]amino]ethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)



RN 666850-21-1 CAPLUS

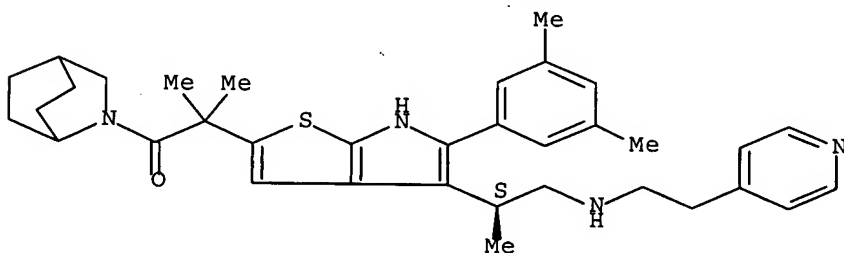
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RN 666850-22-2 CAPLUS

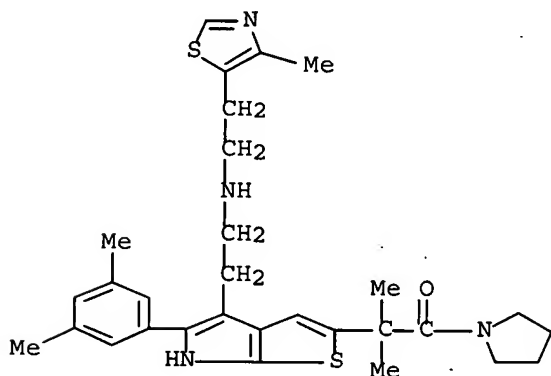
CN 2-Azabicyclo[2.2.2]octane, 2-[2-[5-(3,5-dimethylphenyl)-4-[(1S)-1-methyl-2-[[2-(4-pyridinyl)ethyl]amino]ethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 666850-23-3 CAPLUS

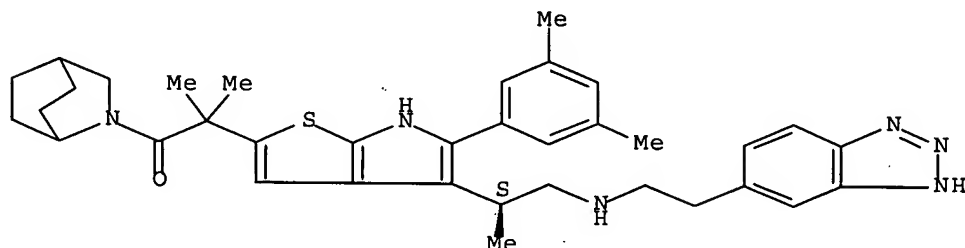
CN Pyrrolidine, 1-[2-[5-(3,5-dimethylphenyl)-4-[2-[[2-(4-methyl-5-thiazolyl)ethyl]amino]ethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)



RN 666850-24-4 CAPLUS

CN 2-Azabicyclo[2.2.2]octane, 2-[2-[4-[(1S)-2-[[2-(1H-benzotriazol-5-yl)ethyl]amino]-1-methylethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



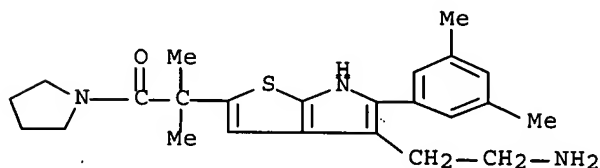
IT 666852-70-6P 666852-71-7P 666852-72-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediates; preparation of thienopyrroles as antagonists of gonadotropin releasing hormone)

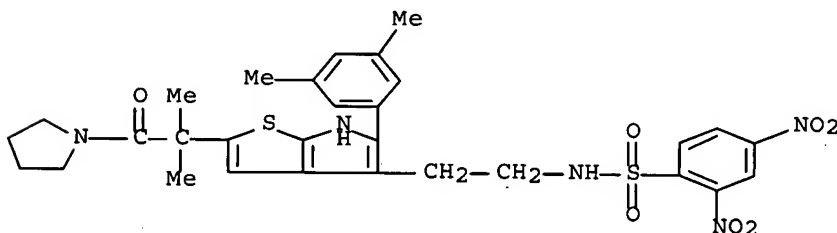
RN 666852-70-6 CAPLUS

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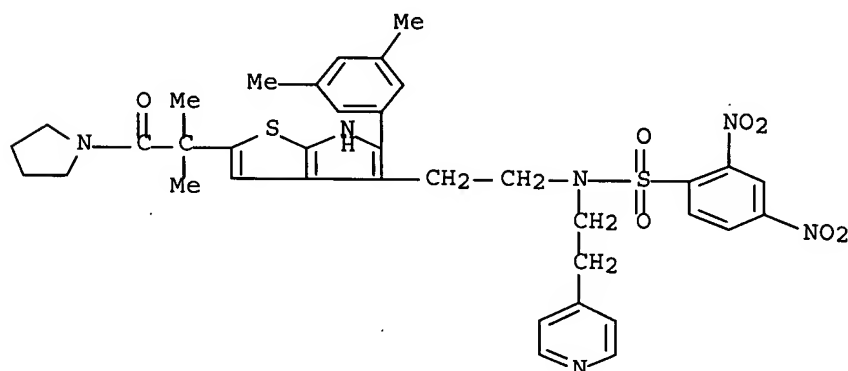
RN 666852-71-7 CAPLUS

CN Pyrrolidine, 1-[2-[5-(3,5-dimethylphenyl)-4-[2-[[2,4-dinitrophenyl)sulfonyl]amino]ethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)



RN 666852-72-8 CAPLUS

CN Pyrrolidine, 1-[2-[5-(3,5-dimethylphenyl)-4-[2-[[2,4-dinitrophenyl)sulfonyl][2-(4-pyridinyl)ethyl]amino]ethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2004:182887 CAPLUS Full-text
 DOCUMENT NUMBER: 140:235694
 TITLE: Preparation of thieno-pyrrole compounds as antagonists of gonadotropin-releasing hormone
 INVENTOR(S): Arnould, Jean Claude
 PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited
 SOURCE: PCT Int. Appl., 74 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018479	A1	20040304	WO 2003-GB3603	20030818
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2003267551	A1	20040311	AU 2003-267551	20030818
EP 1532154	A1	20050525	EP 2003-748242	20030818
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2006508050	T	20060309	JP 2004-530353	20030818
US 2006004053	A1	20060105	US 2005-525109	20050218
PRIORITY APPLN. INFO.:			EP 2002-292076	A 20020821
			WO 2003-GB3603	W 20030818
OTHER SOURCE(S):		MARPAT 140:235694		

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A = bond or (un)substituted alkylene; R1 = H, (un)substituted alkyl, cycloalkyl, or cycloalkylalkyl; R2 = (un)substituted mono- or bicyclic aromatic ring structure; R4 = H; R5 = (un)substituted heterocyclic ring containing 1-4 heteroatoms selected from O, N and S, hydroxyalkyl, alkylcarbonyl, etc.; R3 and R3a = independently H, (un)substituted alkyl or together represent a carbonyl; R7 = H or (un)substituted alkyl; R8 and X = when X represents CH, R8 represents NO₂, when X represents N, R8 is selected from CN, OH, H, alkoxy, etc., or the combination XR8 equals CO] are prepared and disclosed as compds. useful as gonadotropin releasing hormone antagonists. Thus, e.g., II was prepared via condensation of 2-[2-(1,1-dimethyl-2-oxo-2-pyrrolidin-1-ylethyl)-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]ethylamine (preparation given) with diphenyl-N-cyanocarbonimide and subsequent substitution with 3-(pyridin-4-yl)pyrrolidine. I have activity at a concentration from 1nM to 5µM. The invention also relates to pharmaceutical formulations of said compds., methods of treatment using said compds. and to processes for the preparation of said compds.

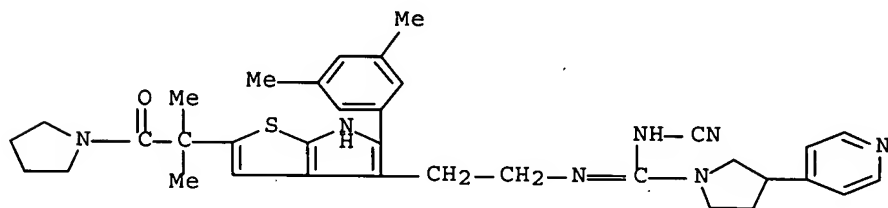
IT 667940-25-2P 667940-63-8P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of thieno-pyrrole derivs. with gonadotropin releasing hormone antagonist activity)

RN 667940-25-2 CAPLUS

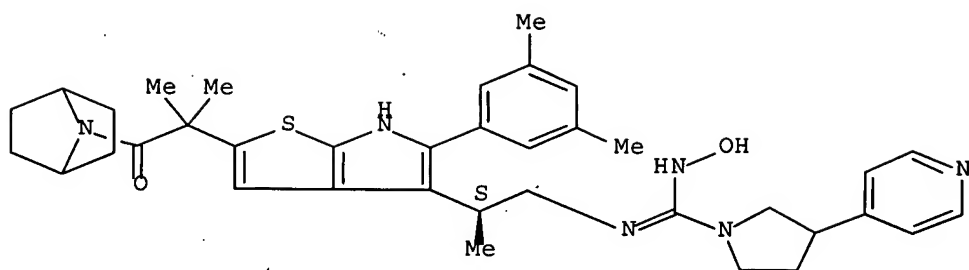
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RN 667940-63-8 CAPLUS

CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[5-(3,5-dimethylphenyl)-4-[(1S)-2-[(hydroxyamino)[3-(4-pyridinyl)-1-pyrrolidinyl]methylene]amino]-1-methylethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



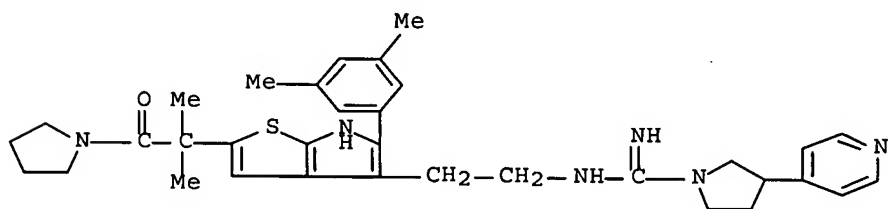
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of thieno-pyrrole derivs. with gonadotropin releasing hormone antagonist activity)

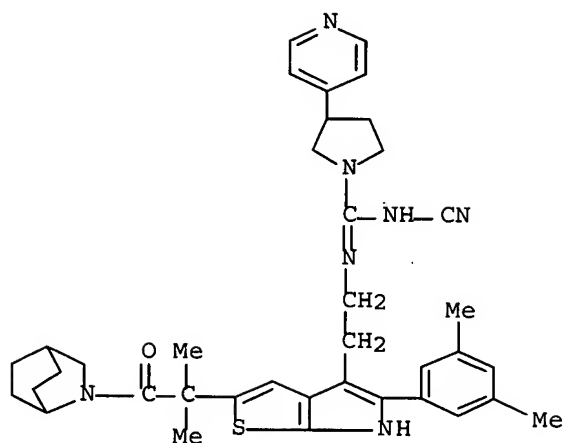
RN 667940-27-4 CAPLUS

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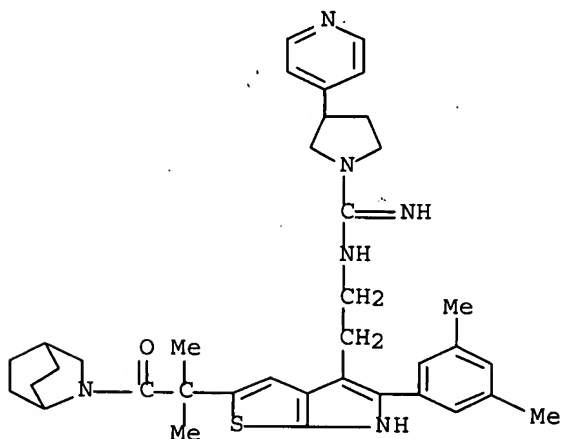
RN 667940-29-6 CAPLUS

CN 2-Azabicyclo[2.2.2]octane, 7-[2-[4-[2-[[cyanoamino][3-(4-pyridinyl)-1-pyrrolidinyl]methylene]amino]ethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)



RN 667940-31-0 CAPLUS

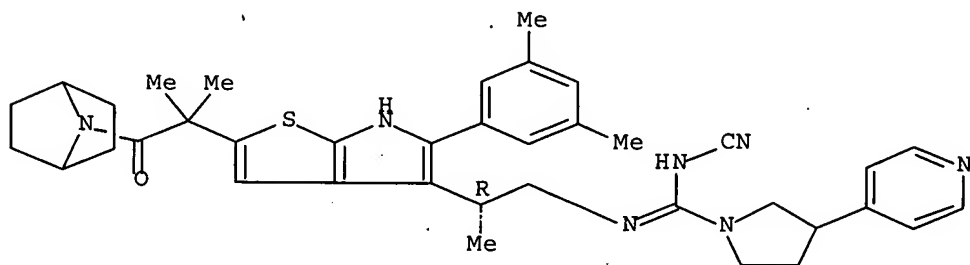
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RN 667940-33-2 CAPLUS

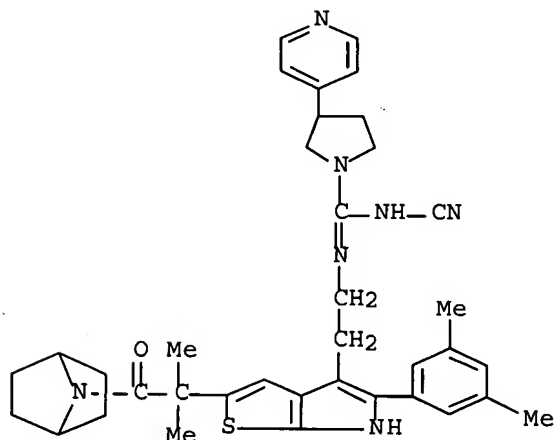
CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[4-[(1R)-2-[(cyanoamino)[3-(4-pyridinyl)-1-pyrrolidinyl]methylene]amino]-1-methylethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



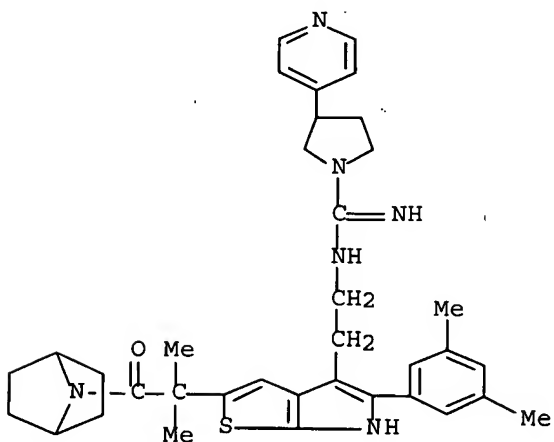
RN 667940-35-4 CAPLUS

CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[4-[2-[[(cyanoamino) [3-(4-pyridinyl)-1-pyrrolidinyl]methylene]amino]ethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)



RN 667940-37-6 CAPLUS

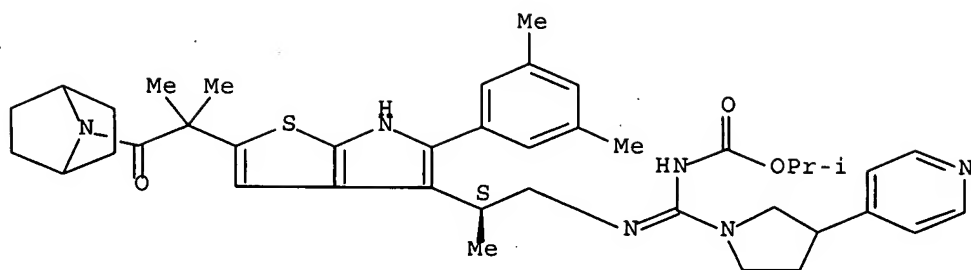
CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[5-(3,5-dimethylphenyl)-4-[2-[[imino[3-(4-pyridinyl)-1-pyrrolidinyl]methyl]amino]ethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)



RN 667940-38-7 CAPLUS

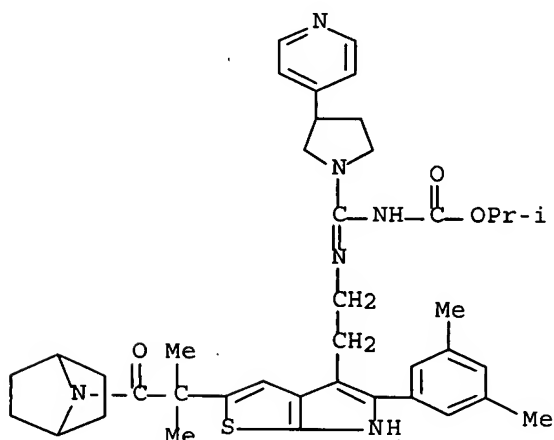
CN Carbamic acid, [[[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]amino][3-(4-pyridinyl)-1-pyrrolidinyl]methylene]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 667940-39-8 CAPLUS

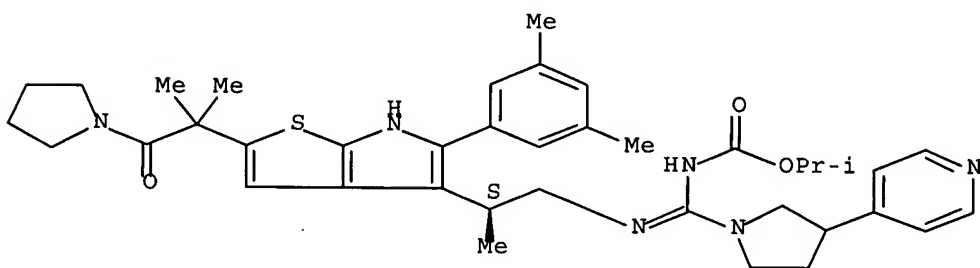
CN Carbamic acid, [[[2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]ethyl]amino][3-(4-pyridinyl)-1-pyrrolidinyl]methylene]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



RN 667940-40-1 CAPLUS

CN Carbamic acid, [[[(2S)-2-[2-[1,1-dimethyl-2-oxo-2-(1-pyrrolidinyl)ethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]amino][3-(4-pyridinyl)-1-pyrrolidinyl]methylene]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

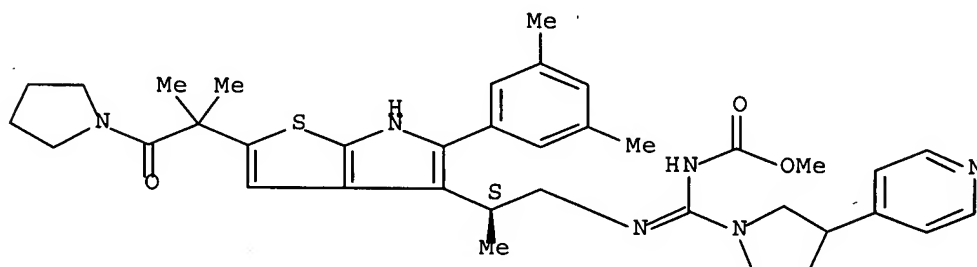


RN 667940-41-2 CAPLUS

CN Carbamic acid, [[[(2S)-2-[2-[1,1-dimethyl-2-oxo-2-(1-pyrrolidinyl)ethyl]-5-

(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]amino][3-(4-pyridinyl)-1-pyrrolidinyl]methylene]-, methyl ester (9CI) (CA INDEX NAME)

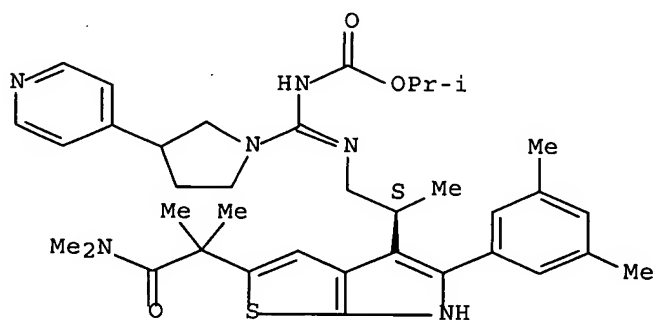
Absolute stereochemistry.



RN 667940-42-3 CAPLUS

CN Carbamic acid, [[[(2S)-2-[2-[2-(dimethylamino)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]amino][3-(4-pyridinyl)-1-pyrrolidinyl]methylene]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

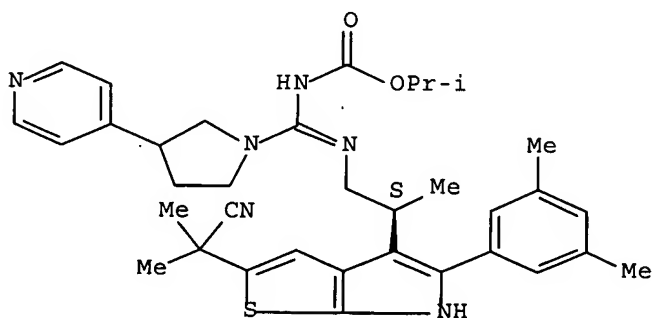
Absolute stereochemistry.



RN 667940-43-4 CAPLUS

CN Carbamic acid, [[[(2S)-2-[2-(1-cyano-1-methylethyl)-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]amino][3-(4-pyridinyl)-1-pyrrolidinyl]methylene]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

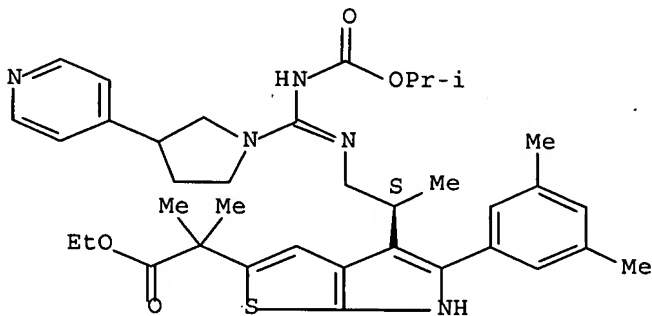
Absolute stereochemistry.



RN 667940-44-5 CAPLUS

CN 6H-Thieno[2,3-b]pyrrole-2-acetic acid, 5-(3,5-dimethylphenyl)-
 α,α -dimethyl-4-[(1S)-1-methyl-2-[[[(1-
methylethoxy)carbonyl]amino][3-(4-pyridinyl)-1-
pyrrolidinyl]methylene]amino]ethyl]-, ethyl ester (9CI) (CA INDEX NAME)

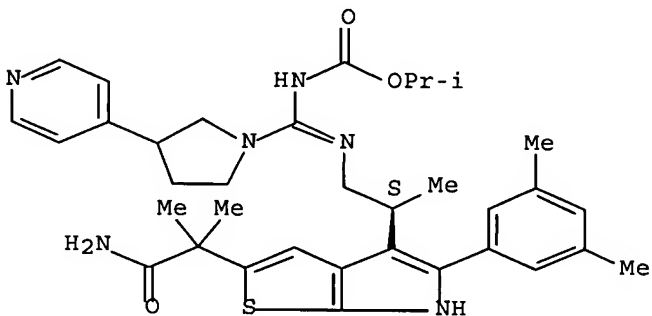
Absolute stereochemistry.



RN 667940-45-6 CAPLUS

CN Carbamic acid, [[[(2S)-2-[2-(2-amino-1,1-dimethyl-2-oxoethyl)-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]amino][3-(4-pyridinyl)-1-pyrrolidinyl]methylene]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

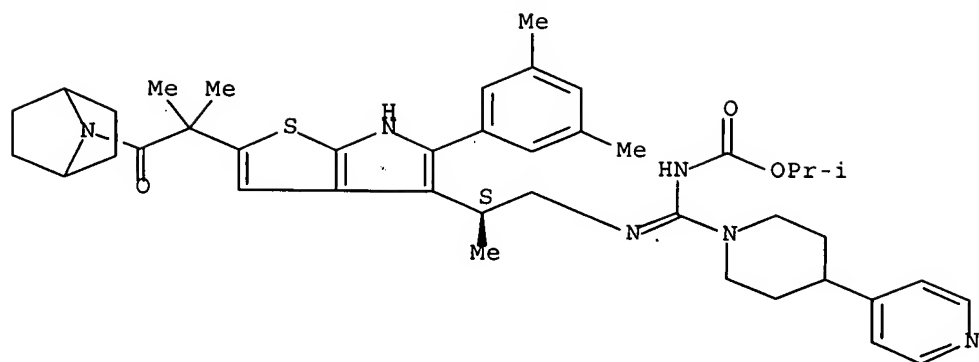
Absolute stereochemistry.



RN 667940-46-7 CAPLUS

CN Carbamic acid, [[[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]amino][4-(4-pyridinyl)-1-piperidinyl]methylene]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

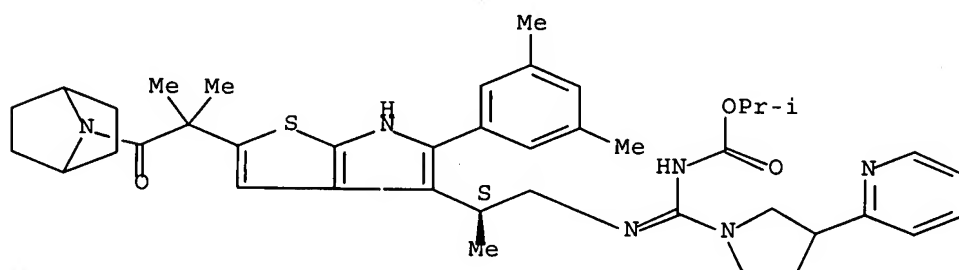
Absolute stereochemistry.



RN 667940-47-8 CAPLUS

CN Carbamic acid, [[[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]amino][3-(2-pyridinyl)-1-pyrrolidinyl)methylene]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

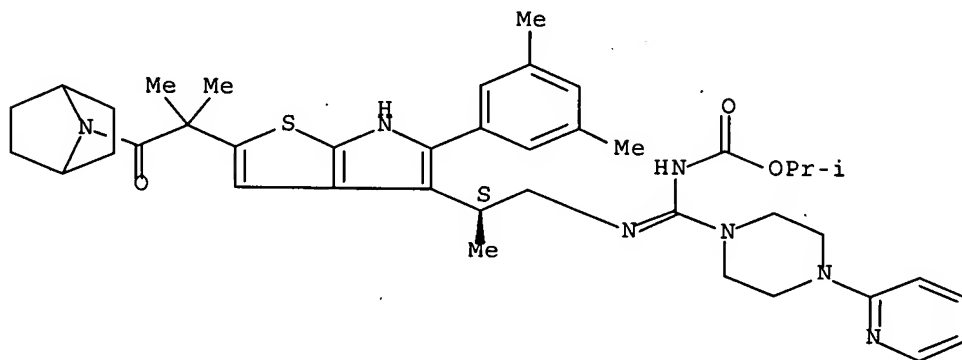
Absolute stereochemistry.



RN 667940-48-9 CAPLUS

CN Carbamic acid, [[[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]amino][4-(2-pyridinyl)-1-piperazinyl)methylene]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

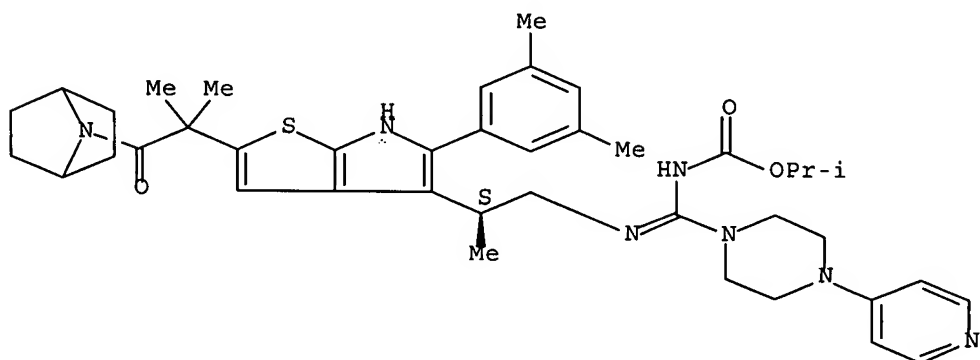


RN 667940-49-0 CAPLUS

CN Carbamic acid, [[[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-

2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]amino][4-(4-pyridinyl)-1-piperazinyl]methylene]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

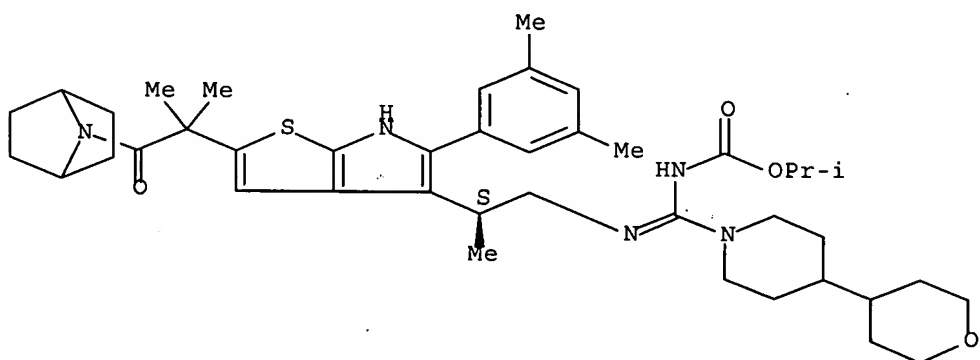
Absolute stereochemistry.



RN 667940-50-3 CAPLUS

CN Carbamic acid, [[[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]amino][4-(tetrahydro-2H-pyran-4-yl)-1-piperidinyl]methylene]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

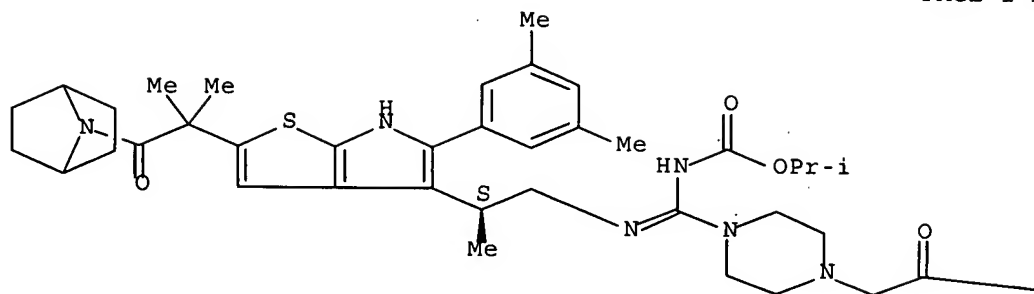
Absolute stereochemistry.



RN 667940-51-4 CAPLUS

CN Carbamic acid, [[[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]amino][4-[2-[(1-methylethyl)amino]-2-oxoethyl]-1-piperazinyl]methylene]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

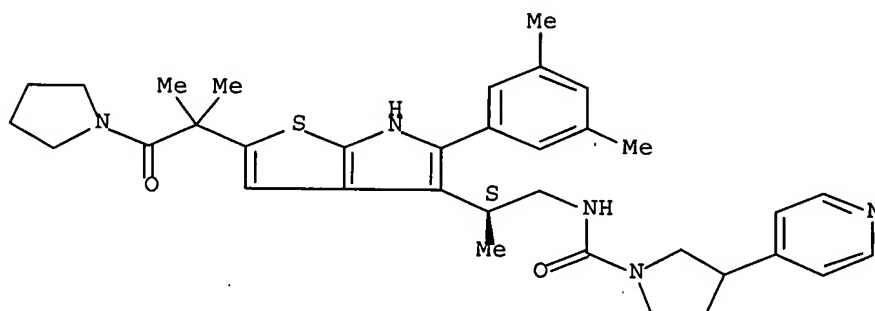


—NHPr-i

RN 667940-52-5 CAPLUS

CN 1-Pyrrolidinecarboxamide, N-[(2S)-2-[2-[1,1-dimethyl-2-oxo-2-(1-pyrrolidinyl)ethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)

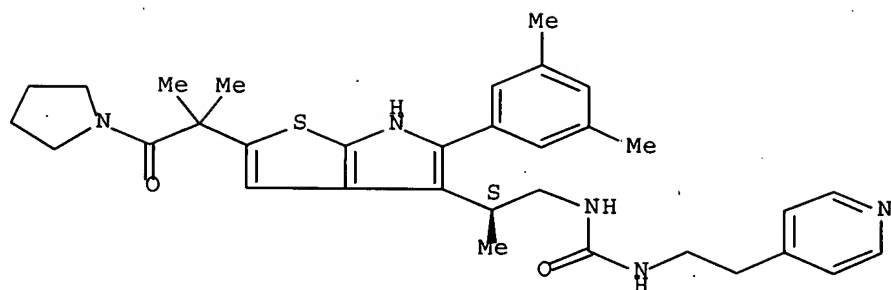
Absolute stereochemistry.



RN 667940-53-6 CAPLUS

CN Pyrrolidine, 1-[2-[5-(3,5-dimethylphenyl)-4-[(1S)-1-methyl-2-[[[2-(4-pyridinyl)ethyl]amino]carbonyl]amino]ethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

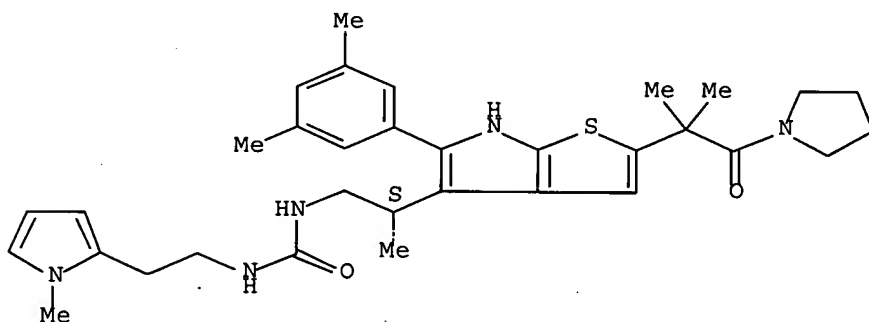
Absolute stereochemistry.



RN 667940-54-7 CAPLUS

CN Pyrrolidine, 1-[2-[5-(3,5-dimethylphenyl)-4-[(1S)-1-methyl-2-[[[2-(1-methyl-1H-pyrrol-2-yl)ethyl]amino]carbonyl]amino]ethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

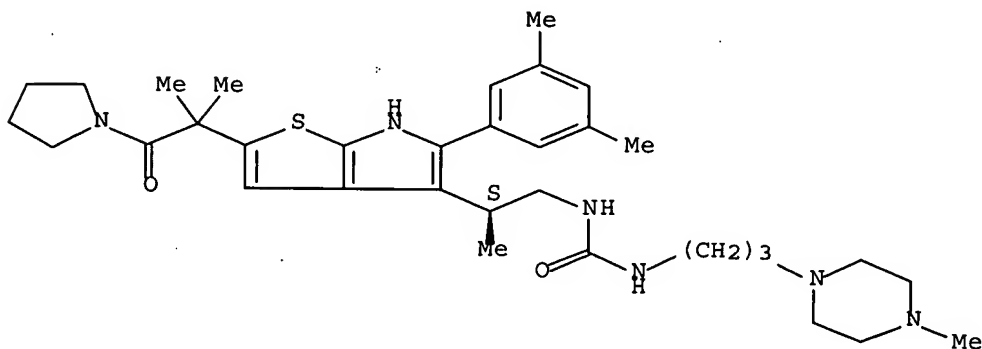
Absolute stereochemistry.



RN 667940-55-8 CAPLUS

CN Pyrrolidine, 1-[2-[5-(3,5-dimethylphenyl)-4-[(1S)-1-methyl-2-[[[3-(4-methyl-1-piperazinyl)propyl]amino]carbonyl]amino]ethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

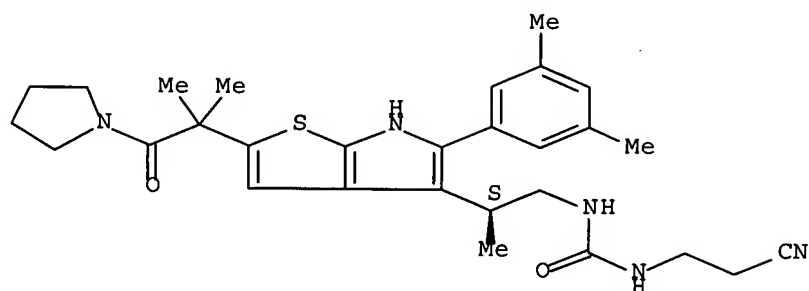
Absolute stereochemistry.



RN 667940-56-9 CAPLUS

CN Pyrrolidine, 1-[2-[4-[(1S)-2-[[[(2-cyanoethyl)amino]carbonyl]amino]-1-methylethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

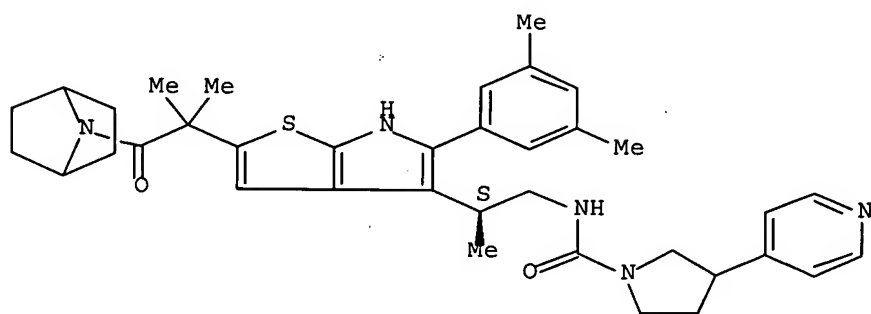
Absolute stereochemistry.



RN 667940-57-0 CAPLUS

CN 1-Pyrrolidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)

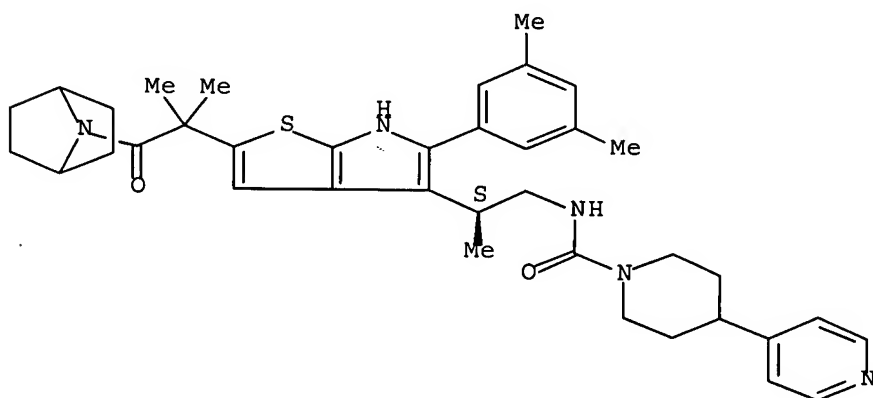
Absolute stereochemistry.



RN 667940-58-1 CAPLUS

CN 1-Piperidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-4-(4-pyridinyl)- (9CI) (CA INDEX NAME)

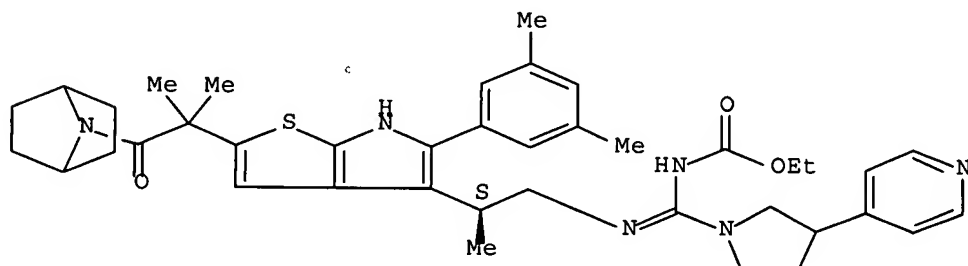
Absolute stereochemistry.



RN 667940-59-2 CAPLUS

CN Carbamic acid, [[[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]amino][3-(4-pyridinyl)-1-pyrrolidinyl]methylene]-, ethyl ester (9CI) (CA INDEX NAME)

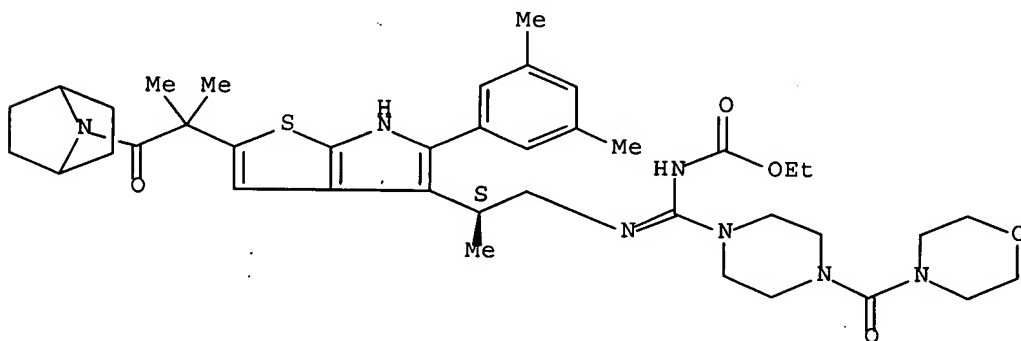
Absolute stereochemistry.



RN 667940-60-5 CAPLUS

CN Carbamic acid, [[[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]amino][4-(4-morpholinylcarbonyl)-1-piperazinyl]methylene]-, ethyl ester (9CI) (CA INDEX NAME)

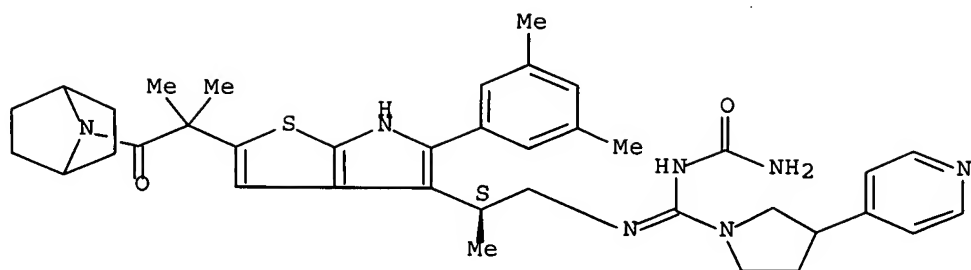
Absolute stereochemistry.



RN 667940-61-6 CAPLUS

CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[4-[(1S)-2-[[[(aminocarbonyl)amino][3-(4-pyridinyl)-1-pyrrolidinyl]methylene]amino]-1-methylethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

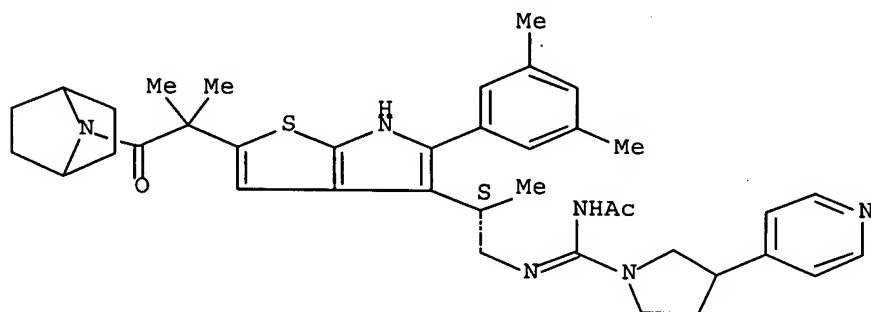
Absolute stereochemistry.



RN 667940-62-7 CAPLUS

CN Acetamide, N-[[[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]amino][3-(4-pyridinyl)-1-pyrrolidinyl]methylene]- (9CI) (CA INDEX NAME)

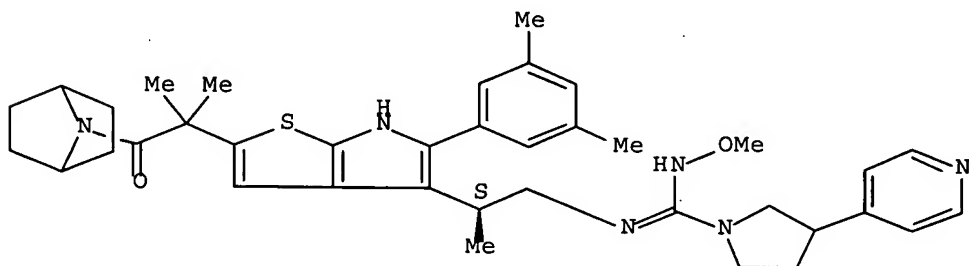
Absolute stereochemistry.



RN 667940-64-9 CAPLUS

CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[5-(3,5-dimethylphenyl)-4-[(1S)-2-[[[(methoxyamino)[3-(4-pyridinyl)-1-pyrrolidinyl]methylene]amino]-1-methylethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

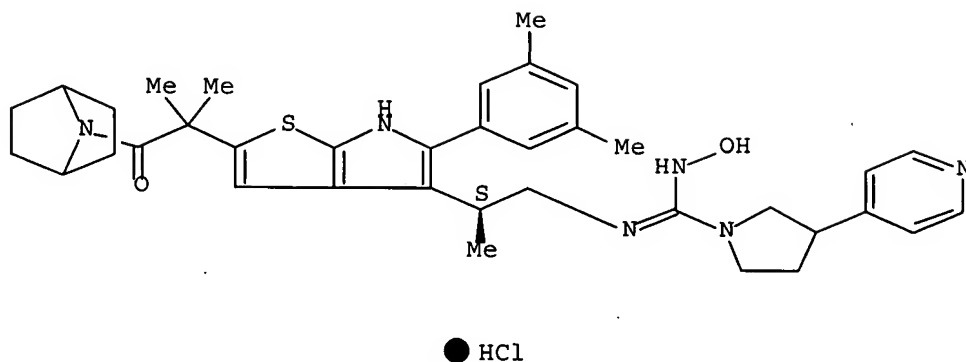
Absolute stereochemistry.



RN 667940-75-2 CAPLUS

CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[5-(3,5-dimethylphenyl)-4-[(1S)-2-[[[(hydroxyamino)[3-(4-pyridinyl)-1-pyrrolidinyl]methylene]amino]-1-methylethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

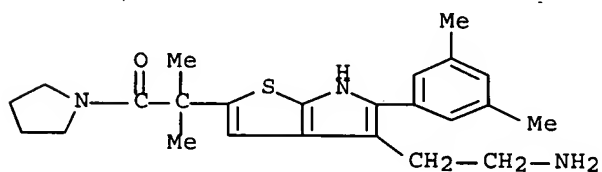


IT 666852-70-6P 667940-65-0P 667940-66-1P
 667940-67-2P 667940-68-3P 667940-69-4P
 667940-70-7P 667940-72-9P 667940-73-0P
 667940-74-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (intermediate; preparation of thieno-pyrrole derivs. with gonadotropin
 releasing hormone antagonist activity)

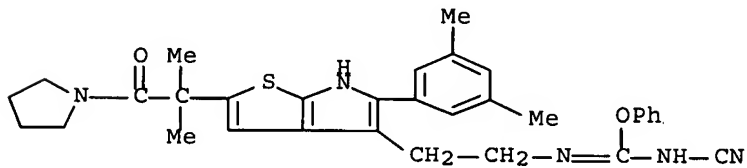
RN 666852-70-6 CAPLUS

CN Pyrrolidine, 1-[2-[4-(2-aminoethyl)-5-(3,5-dimethylphenyl)-6H-thieno[2,3-
 b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)



RN 667940-65-0 CAPLUS

CN Carbamimidic acid, N-cyano-N'-[2-[2-[1,1-dimethyl-2-oxo-2-(1-
 pyrrolidinyl)ethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-
 yl]ethyl]-, phenyl ester (9CI) (CA INDEX NAME)

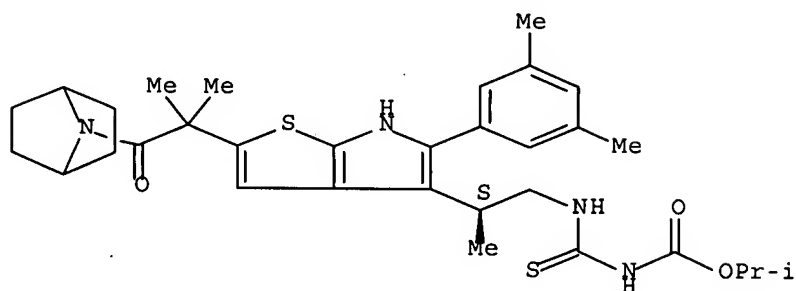


RN 667940-66-1 CAPLUS

CN Carbamic acid, [[[2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-
 2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-

yl]propyl]amino]thioxomethyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

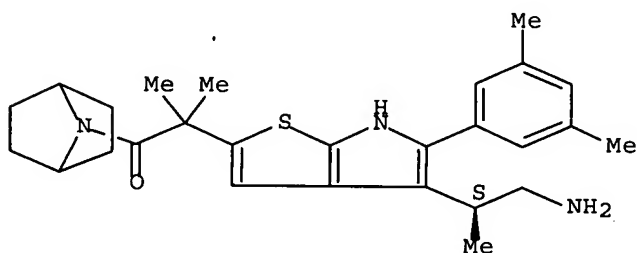
Absolute stereochemistry.



RN 667940-67-2 CAPLUS

CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[4-[(1S)-2-amino-1-methylethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

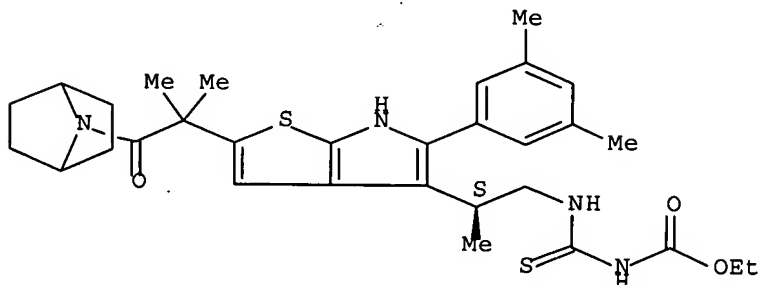
Absolute stereochemistry.



RN 667940-68-3 CAPLUS

CN Carbamic acid, [[[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]amino]thioxomethyl]-, ethyl ester (9CI) (CA INDEX NAME)

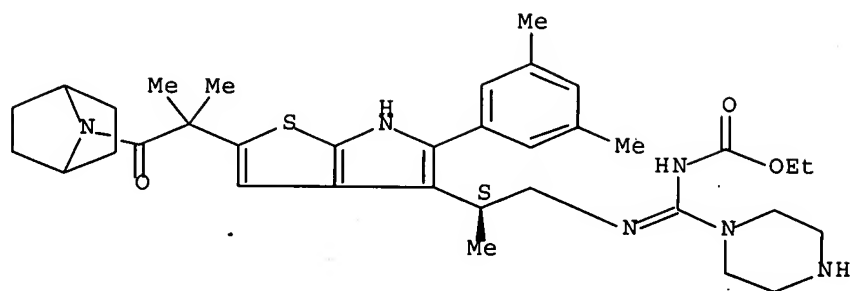
Absolute stereochemistry.



RN 667940-69-4 CAPLUS

CN Carbamic acid, [[[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]amino]-1-piperazinylmethylene]-, ethyl ester (9CI) (CA INDEX NAME)

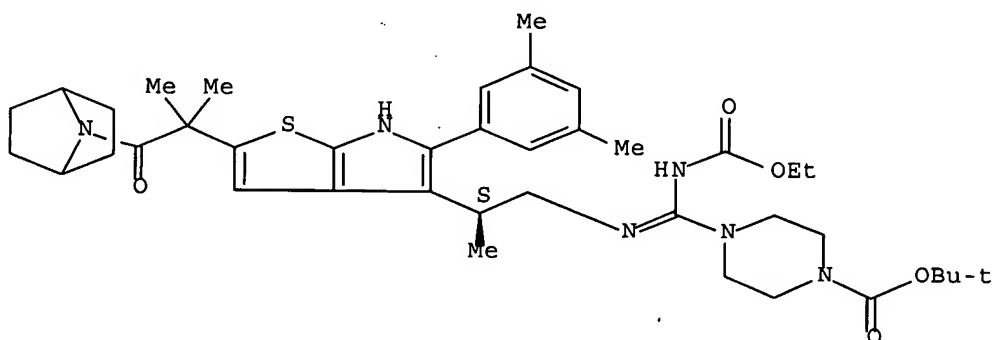
Absolute stereochemistry.



RN 667940-70-7 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[[[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]amino][(ethoxycarbonyl)imino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

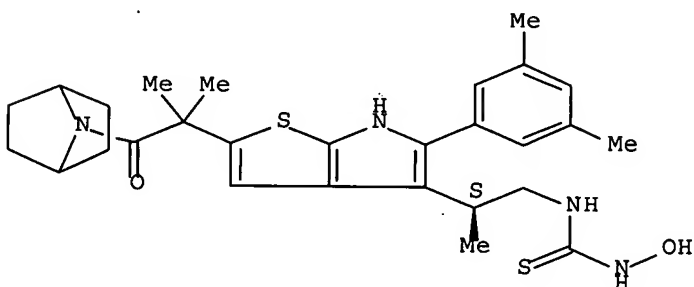
Absolute stereochemistry.



RN 667940-72-9 CAPLUS

CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[5-(3,5-dimethylphenyl)-4-[(1S)-2-[[[(hydroxyamino)thioxomethyl]amino]-1-methylethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]-1-methylethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

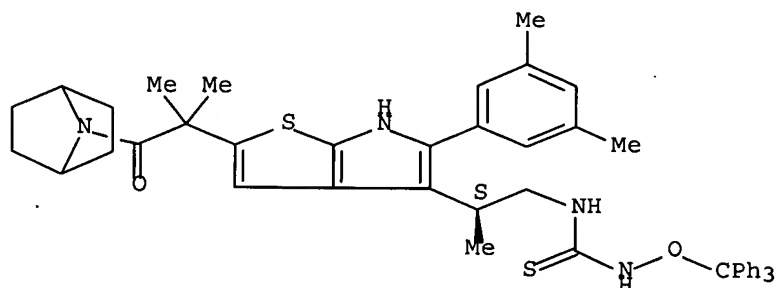


RN 667940-73-0 CAPLUS

CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[5-(3,5-dimethylphenyl)-4-[(1S)-1-methyl-

2-[[[thioxo[(triphenylmethoxy)amino]methyl]amino]ethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

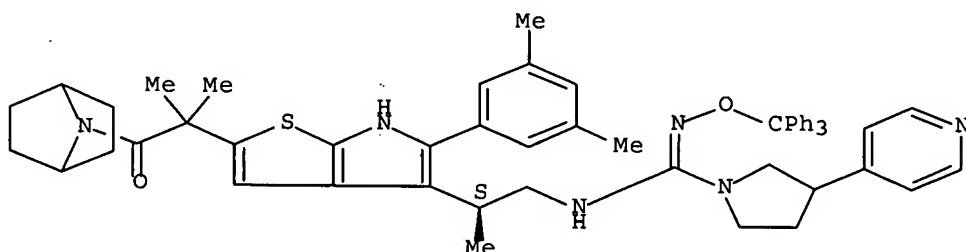
Absolute stereochemistry.



RN 667940-74-1 CAPLUS

CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[5-(3,5-dimethylphenyl)-4-[(1S)-1-methyl-2-[[[3-(4-pyridinyl)-1-pyrrolidinyl][(triphenylmethoxy)amino]methylene]amino]ethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



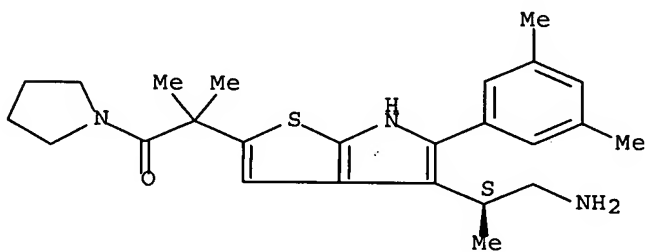
IT 667940-76-3

RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of thieno-pyrrole derivs. with gonadotropin releasing hormone antagonist activity)

RN 667940-76-3 CAPLUS

CN Pyrrolidine, 1-[2-[4-[(1S)-2-amino-1-methylethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS

=> FIL REGISTRY

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

31.05

376.81

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-3.90

-3.90

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STRUCTURE FILE UPDATES: 28 MAY 2007 HIGHEST RN 935999-19-2

DICTIONARY FILE UPDATES: 28 MAY 2007 HIGHEST RN 935999-19-2

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TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

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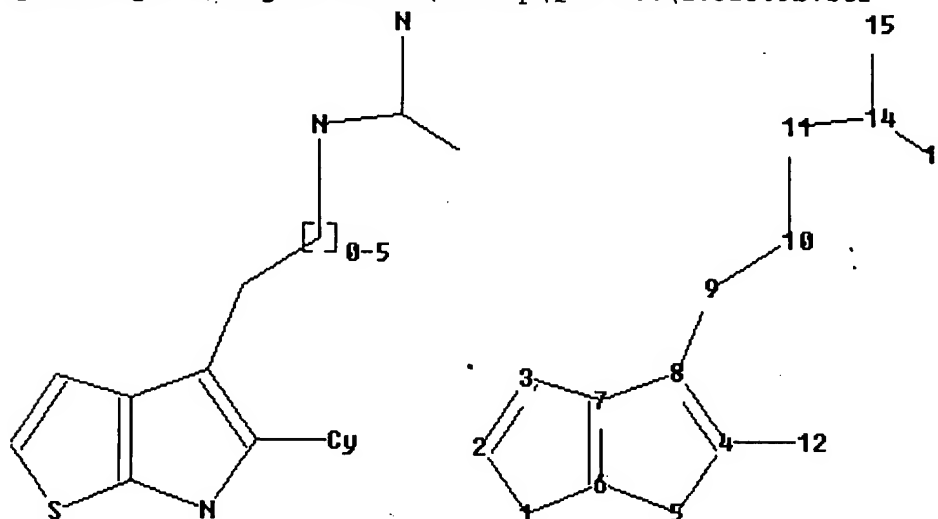
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

*** YOU HAVE NEW MAIL ***

=>

Uploading C:\Program Files\Stnexp\Queries\1052509b.str



chain nodes :

9 10 11 12 14 15 16

ring nodes :

1 2 3 4 5 6 7 8

chain bonds :

4-12 8-9 9-10 10-11 11-14 14-15 14-16

ring bonds :

1-2 1-6 2-3 3-7 4-5 4-8 5-6 6-7 7-8

exact/norm bonds :

1-2 1-6 2-3 3-7 4-5 4-8 4-12 5-6 6-7 7-8 10-11 11-14 14-15

exact bonds :

8-9 9-10 14-16

isolated ring systems :

containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:CLASS 10:CLASS

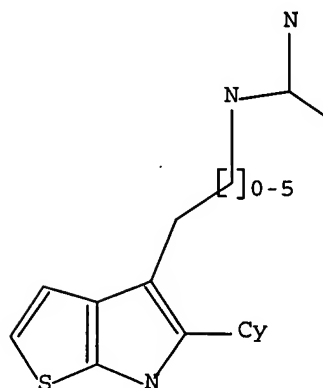
11:CLASS 12:Atom 14:CLASS 15:CLASS 16:CLASS

L8 STRUCTURE UPLOADED

=> d l8

L8 HAS NO ANSWERS

L8 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l8

SAMPLE SEARCH INITIATED 10:09:56 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED

0 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

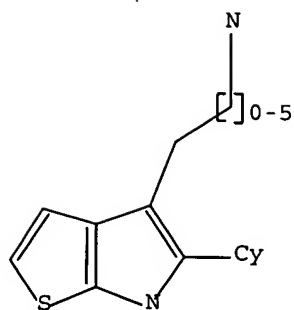
FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 0 TO 0

PROJECTED ANSWERS: 0 TO 0

L11 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l11

SAMPLE SEARCH INITIATED 10:11:26 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 40 TO ITERATE

100.0% PROCESSED 40 ITERATIONS 3 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 421 TO 1179
PROJECTED ANSWERS: 3 TO 163

L12 3 SEA SSS SAM L11

=> s l11 sss full

FULL SEARCH INITIATED 10:11:33 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 644 TO ITERATE

100.0% PROCESSED 644 ITERATIONS 83 ANSWERS
SEARCH TIME: 00.00.01

L13 83 SEA SSS FUL L11

=> d his

(FILE 'HOME' ENTERED AT 10:00:25 ON 29 MAY 2007)

FILE 'REGISTRY' ENTERED AT 10:00:33 ON 29 MAY 2007

L1 STRUCTURE UPLOADED
L2 3 S L1
L3 82 S L1 SSS FULL
L4 STRUCTURE UPLOADED
L5 0 S L4
L6 0 S L4 SSS FULL

FILE 'CAPLUS' ENTERED AT 10:03:24 ON 29 MAY 2007

L7 4 S L3

FILE 'REGISTRY' ENTERED AT 10:09:38 ON 29 MAY 2007

L8 STRUCTURE UPLOADED
L9 0 S L8
L10 0 S L8 SSS FULL

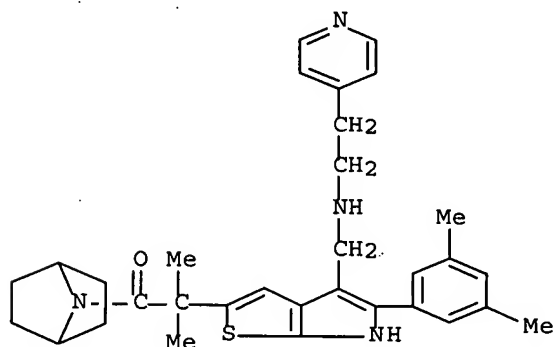
L11 STRUCTURE UPLOADED
L12 3 S L11
L13 83 S L11 SSS FULL

=> s l13 not l3

L14 1 L13 NOT L3

=> d l14

L14 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2007 ACS on STN
RN 666852-42-2 REGISTRY
ED Entered STN: 24 Mar 2004
CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[5-(3,5-dimethylphenyl)-4-[[[2-(4-pyridinyl)ethyl]amino]methyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)
MF C32 H38 N4 O S
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> FIL CAPLUS

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
347.50	724.31

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
0.00	-3.90

CA SUBSCRIBER PRICE

FILE 'CAPLUS' ENTERED AT 10:12:32 ON 29 MAY 2007

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FILE COVERS 1907 - 29 May 2007 VOL 146 ISS 23
FILE LAST UPDATED: 28 May 2007 (20070528/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

<http://www.cas.org/infopolicy.html>

=> d his

(FILE 'HOME' ENTERED AT 10:00:25 ON 29 MAY 2007)

FILE 'REGISTRY' ENTERED AT 10:00:33 ON 29 MAY 2007

L1 STRUCTURE UPLOADED
L2 3 S L1
L3 82 S L1 SSS FULL
L4 STRUCTURE UPLOADED
L5 0 S L4
L6 0 S L4 SSS FULL

FILE 'CAPLUS' ENTERED AT 10:03:24 ON 29 MAY 2007

L7 4 S L3

FILE 'REGISTRY' ENTERED AT 10:09:38 ON 29 MAY 2007

L8 STRUCTURE UPLOADED
L9 0 S L8
L10 0 S L8 SSS FULL
L11 STRUCTURE UPLOADED
L12 3 S L11
L13 83 S L11 SSS FULL
L14 1 S L13 NOT L3

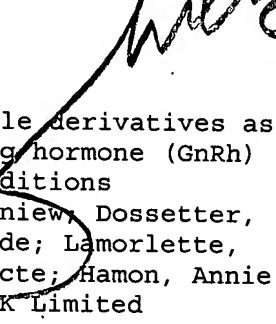
FILE 'CAPLUS' ENTERED AT 10:12:32 ON 29 MAY 2007

=> s l14

L15 1 L14

=> d l15 ibib abs hitstr

L15 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:182888 CAPLUS Full-text
DOCUMENT NUMBER: 140:235695
TITLE: Preparation of 6H-thieno[2,3-b]pyrrole derivatives as
antagonists of gonadotropin-releasing hormone (GnRh)
for treating sex hormone related conditions
INVENTOR(S): Foote, Kevin Michael; Matusiak, Zbigniew; Dossetter,
Alexander Graham; Arnould, Jean Claude; Lamorlette,
Maryannick Andree; Delouyrie, Benedicte; Hamon, Annie
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited
SOURCE: PCT Int. Appl., 215 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

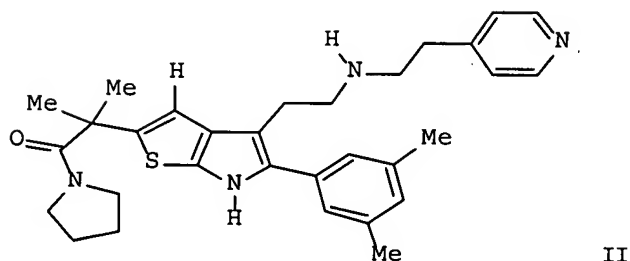
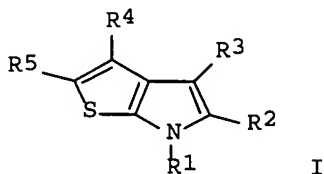


FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018480	A1	20040304	WO 2003-GB3631	20030819
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IE, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2003255818	A1	20040311	AU 2003-255818	20030819
EP 1543012	A1	20050622	EP 2003-792485	20030819
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2006501232	T	20060112	JP 2004-530360	20030819
US 2006004082	A1	20060105	US 2005-524978	20050218
US 7132442	B2	20061107		
US 2006235067	A1	20061019	US 2006-380961	20060501
PRIORITY APPLN. INFO.:			EP 2002-292074	A 20020821
			WO 2003-GB3631	W 20030819
			US 2005-524978	A3 20050218

OTHER SOURCE(S): MARPAT 140:235695
GI



AB Title compds. I [R1 = H, (un)substituted-alkyl, -alkanoyl, -aryl, or -arylalkyl; R2 = (un)substituted mono or bicyclic aromatic ring; R3 = arylalkylaminoalkyl, arylheterocyclylalkyl, heterocyclylheterocyclylalkyl, etc.; R4 = H, (un)substituted-alkyl, -aryl, CN, halo, etc.; R5 = heterocyclylcarbonylalkyl, halo, H, etc.] and their pharmaceutically acceptable salts are prepared and disclosed as gonadotropin releasing hormone antagonists. Thus, e.g., II, was prepared in a multistep synthesis from Et

thiophen-2-ylacetate. In test assays, I possessed activity at concns. from 1nM to 5 µM.

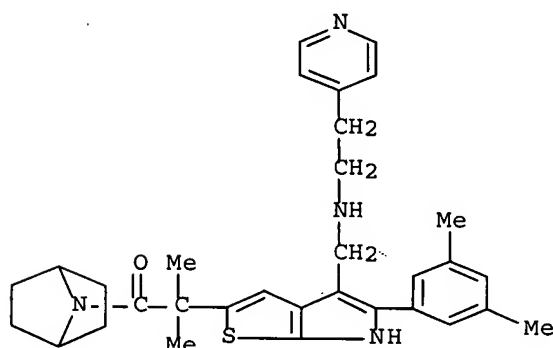
IT 666852-42-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of thienopyrroles as antagonists of gonadotropin releasing hormone)

RN 666852-42-2 CAPLUS

CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[5-(3,5-dimethylphenyl)-4-[[[2-(4-pyridinyl)ethyl]amino]methyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> FIL REGISTRY

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
11.85	736.16

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-0.78	-4.68

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DICTIONARY FILE UPDATES: 28 MAY 2007 HIGHEST RN 935999-19-2

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